L7 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
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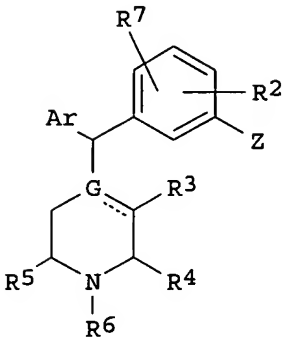

I

AB A method of reducing, treating or preventing drug-mediated respiratory depression, muscle rigidity, or nausea/vomiting in an animal, incident to the administration of a mixed delta/mu opioid agonist or a respiratory depression-mediating drug, comprising administering to the animal an effective amount of a delta receptor agonist compound The effect of the pos. isomer of the delta agonist BW373U86 is shown on analgesia and respiratory depression induced by the mu agonist, alfenta. The delta agonist compound may comprise I (Ar = substituted 5- or 6-member carbocyclic aromatic ring; G = C, N; Z = OH, esters, hydroxymethyl, amino, etc.; R2 = H, halo, C1-C4 alkyl, C2-C4 alkenyl, C1-C4 alkynyl; R3, R4, R5 = H, Me, or C1-C3 bridge; R6 = H, C1-C6 alkyl, arylalkyl aminocarbonylalkyl, etc.; and R7 = H, F) or a pharmaceutically acceptable ester or salt of I.

AN 1999:48601 CAPLUS
DN 130:119605

TI Delta receptor agonists in compositions and methods for reducing respiratory depression and attendant side effects of mu opioid compounds

IN Chang, Kwen-Jen; McNutt, Robert W., Jr.; Pettit, Hugh O.; Bishop, Michael J.
PA Delta Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 7

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI WO 9901033 | A1 | 19990114 | WO 1997-US17652 | 19971001 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
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| AU 756120 | B2 | 20030102 | | | | |
| | | | | US 1997-887312 | A | 19970703 |
| | | | | WO 1997-US17852 | W | 19971001 |
| EP 1003373 | A1 | 20000531 | | EP 1997-910777 | | 19971001 |
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| | | | | US 1997-887312 | A | 19970703 |
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| | | | | WO 1997-US17852 | W | 19971001 |
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| | | | | WO 1997-US17852 | W | 19971001 |
| NZ 501817 | A | 20020201 | | NZ 1997-501817 | | 19971001 |
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| | | | | WO 1997-US17852 | W | 19971001 |
| RU 2201231 | C2 | 20030327 | | RU 2000-100816 | | 19971001 |
| | | | | US 1997-887312 | A | 19970703 |
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| AT 259226 | E | 20040215 | | AT 1997-910777 | | 19971001 |
| | | | | US 1997-887312 | A | 19970703 |
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| | | | | WO 1997-US17852 | W | 19971001 |
| MX 200000195 | A | 20000831 | | MX 2000-195 | | 20000103 |
| | | | | US 1997-887312 | A | 19970703 |
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PATENT FAMILY INFORMATION:

FAN 1994:483367

| | PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
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| PI | WO 9315062 | A1 | 19930805 | WO 1993-GB216 | | 19930202 |
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| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG | | | | | |
| | | | | GB 1992-2238 | A | 19920203 |
| | AU 9334573 | A1 | 19930901 | AU 1993-34573 | | 19930202 |
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| | | | | GB 1992-2238 | A | 19920203 |
| | | | | WO 1993-GB216 | A | 19930202 |
| | ZA 9300717 | A | 19940802 | ZA 1993-717 | | 19930202 |
| | | | | GB 1992-2238 | A | 19920203 |
| | JP 07503247 | T2 | 19950406 | JP 1993-513072 | | 19930202 |
| | JP 3109832 | B2 | 20001120 | | | |
| | | | | GB 1992-2238 | A | 19920203 |
| | | | | WO 1993-GB216 | W | 19930202 |
| | EP 649414 | A1 | 19950426 | EP 1993-914513 | | 19930202 |

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| EP 649414 | B1 | 20030416 | | | | |
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| | | | WO 1993-GB216 | W | 19930202 | |
| IL 104582 | A1 | 19981030 | IL 1993-104582 | | 19930202 | |
| | | | GB 1992-2238 | A | 19920203 | |
| AT 237597 | E | 20030515 | AT 1993-914513 | | 19930202 | |
| | | | GB 1992-2238 | A | 19920203 | |
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| PT 649414 | T | 20030930 | PT 1993-914513 | | 19930202 | |
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| ES 2197152 | T3 | 20040101 | ES 1993-914513 | | 19930202 | |
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| US 5854249 | A | 19981229 | US 1997-864667 | | 19970528 | |
| | | | GB 1992-2238 | A | 19920203 | |
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| US 2002052007 | A1 | 20020502 | US 2001-957903 | | 20010921 | |
| | | | GB 1992-2238 | A | 19920203 | |
| | | | WO 1993-GB216 | W | 19930202 | |
| | | | US 1996-658726 | A2 | 19960605 | |
| | | | US 1997-887312 | A3 | 19970703 | |
| | | | US 1999-352308 | A2 | 19990712 | |
| US 2005255151 | A1 | 20051117 | US 2005-184762 | | 20050719 | |
| | | | GB 1992-2238 | A | 19920203 | |
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| | | | US 1997-887312 | A3 | 19970703 | |
| | | | US 1999-352308 | A3 | 19990712 | |
| | | | US 2001-974004 | A3 | 20011009 | |

FAN 1995:812775

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE | |
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| RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | | | |
| | | | US 1993-98333 | A | 19930730 | |
| | | | US 1993-169879 | A | 19931217 | |
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| AU 692788 | B2 | 19980618 | | | | |
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FAN 1997:735777

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FAN 1997:812190

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FAN 1999:733037

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| | | | | US 1997-887312 | A 19970703 |
| | SI 20245 | C | 20001231 | SI 1997-20097 | 19971001 |
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| | NO 9906310 | A | 20000222 | NO 1999-6310 | 19991217 |
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| | | | | US 1999-352308 | A3 19990712 |
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FAN 2002:332621

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI | US 2002052007 | A1 | 20020502 | US 2001-957903 | 20010921 |
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG

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| | | | GB 1992-2238 | A | 19920203 |
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| | | | US 1994-284445 | A3 | 19940803 |
| US 5985880 | A | 19991116 | US 1997-887312 | | 19970703 |
| | | | US 1996-658726 | A2 | 19960605 |
| US 6300332 | B1 | 20011009 | US 1999-352308 | | 19990712 |
| | | | US 1996-658726 | A2 | 19960605 |
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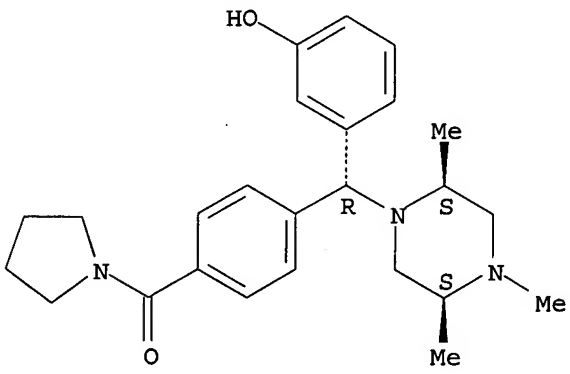
OS MARPAT 130:119605

IT **155767-34-3 219859-95-7**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(as delta receptor agonist; delta receptor agonists in compns. and methods for reducing respiratory depression and attendant side effects of mu opioid compds.)

RN 155767-34-3 CAPLUS

CN Pyrrolidine, 1-[4-[(R)-(3-hydroxyphenyl)[(2S,5S)-2,4,5-trimethyl-1-piperazinyl]methyl]benzoyl]- (9CI) (CA INDEX NAME)

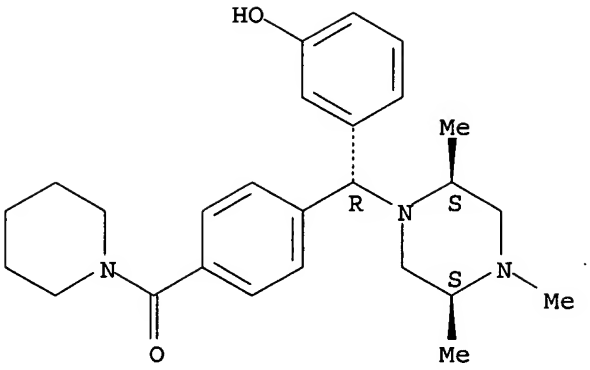
Absolute stereochemistry.




RN 219859-95-7 CAPLUS

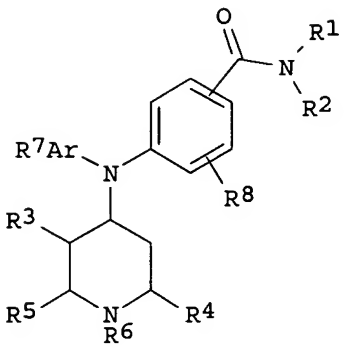
CN Piperidine, 1-[4-[(R)-(3-hydroxyphenyl)[(2S,5S)-2,4,5-trimethyl-1-piperazinyl]methyl]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI



I

AB Title compds. [I; Ar = Ph, naphthyl; R1, R2 = H, alkyl, (substituted) Ph, PhCH2; R1R2N = pyrrolidinyl, morpholinyl, piperidinyl, hexamethyleneiminyl; R3-R5 = H, alkyl; R6 = H, alkyl, cycloalkylalkyl, alkenyl, alkoxyalkyl, (substituted) thienylalkyl, furylalkyl, pyrrolylalkyl, oxazolylalkyl, etc.; R7 = 0-3 of OH, halo, alkyl, alkoxy, acyl, acyloxy, cyano, amino, acylamino, alkylthio, alkylsulfonyl, CF3, OCF3, etc.; R8 = 0-2 of halo, alkyl, alkoxy, CF3], were prepared Thus, N-(3-methoxyphenyl)-1-propyl-4-piperidinamine (preparation given), N,N-diethyl-4-bromobenzamide, tris(dibenzylideneacetone)dipalladium(0), (R)-(+)-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl and NaOCMe3 in PhMe were heated at 110° under Ar in a pressure vessel for 16 h to give 53% N,N-diethyl-4-[3-methoxyphenyl(1-propylpiperidin-4-yl)amino]benzamide fumarate (1:1). The latter gave 97% displacement of [3H]-bremazocine from δ-opioid receptors.

AN 2002:632801 CAPLUS

DN 137:169426

TI Preparation of 4-(diarylamino)piperidines as δ-opioid receptor agonists/antagonists.

IN Carson, John R.; Susan, Carmosin Richard J.; Fitzpatrick, Louis J.; Reitz, Allen B.; Jetter, Michele C.

PA Ortho-McNeil Pharmaceutical, Inc., USA

SO U.S., 13 pp.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

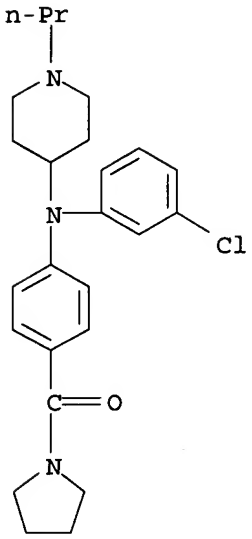
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI US 6436959 | B1 | 20020820 | US 1998-220189 | 19981223 |
| PRAI US 1998-220189 | | 19981223 | | |

OS MARPAT 137:169426

IT **229478-95-9P 229478-99-3P 229479-04-3P**
**229479-05-4P 229479-06-5P 229479-12-3P**
**229479-13-4P 229479-14-5P 229479-19-0P**
**229479-21-4P 229479-22-5P 229479-27-0P**
**229479-28-1P 229479-29-2P 229479-34-9P**
**229479-35-0P 229479-37-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(diarylamino)piperidines as δ-opioid receptor agonists/antagonists)

RN 229478-95-9 CAPLUS
CN Pyrrolidine, 1-[4-[(3-chlorophenyl)(1-propyl-4-piperidinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

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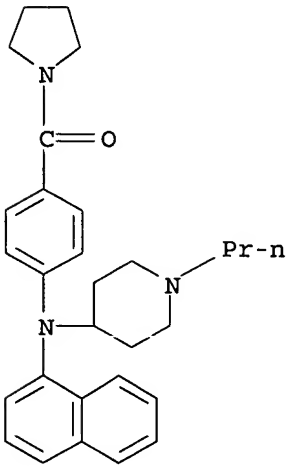


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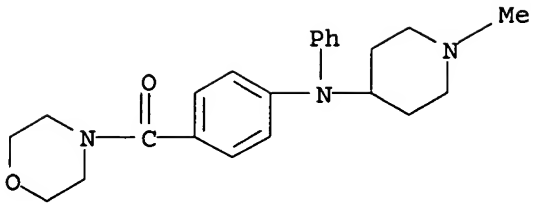


RN 229479-05-4 CAPLUS
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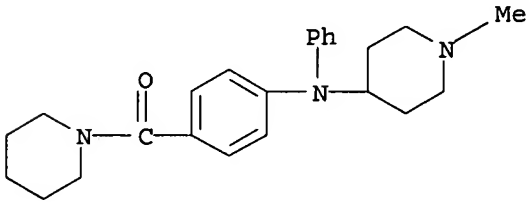


RN 229479-06-5 CAPLUS

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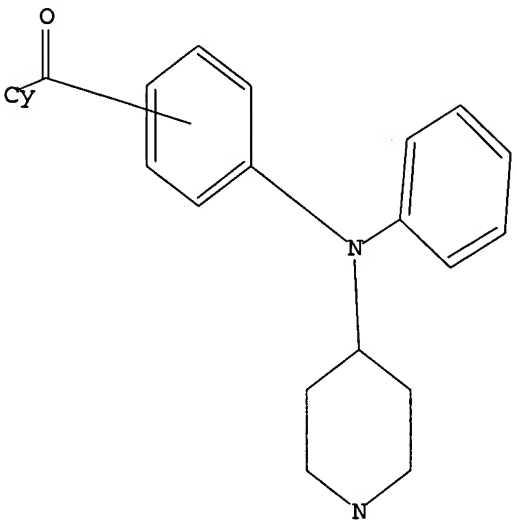


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FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        20125 TO    24115
PROJECTED ANSWERS:               1 TO       80

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FULL SCREEN SEARCH COMPLETED -    21988 TO ITERATE

100.0% PROCESSED    21988 ITERATIONS                               23 ANSWERS
SEARCH TIME: 00.00.01

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| FULL ESTIMATED COST | 166.94 | 600.71 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | 0.00 | -11.25 |

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FILE COVERS 1907 - 27 Mar 2006 VOL 144 ISS 14
FILE LAST UPDATED: 26 Mar 2006 (20060326/ED)

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They are available for your review at:

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=> s l10
L11 5 L10

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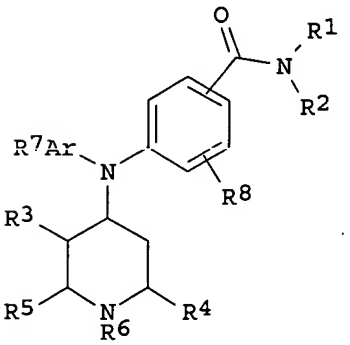
L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI




I

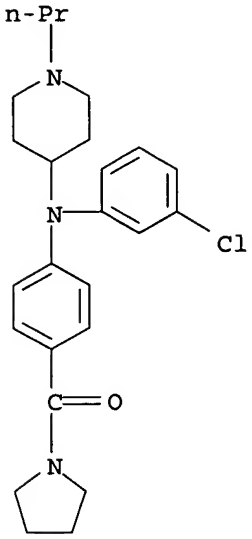
AB Title compds. [I; Ar = Ph, naphthyl; R1, R2 = H, alkyl, (substituted) Ph,

PhCH2; R1R2N = pyrrolidinyl, morpholinyl, piperidinyl, hexamethyleneiminyl; R3-R5 = H, alkyl; R6 = H, alkyl, cycloalkylalkyl, alkenyl, alkoxyalkyl, (substituted) thienylalkyl, furylalkyl, pyrrolylalkyl, oxazolylalkyl, etc.; R7 = 0-3 of OH, halo, alkyl, alkoxy, acyl, acyloxy, cyano, amino, acylamino, alkylthio, alkylsulfonyl, CF3, OCF3, etc.; R8 = 0-2 of halo, alkyl, alkoxy, CF3], were prepared Thus, N-(3-methoxyphenyl)-1-propyl-4-piperidinamine (preparation given), N,N-diethyl-4-bromobenzamide, tris(dibenzylideneacetone)dipalladium(0), (R)-(+)-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl and NaOCMe3 in PhMe were heated at 110° under Ar in a pressure vessel for 16 h to give 53% N,N-diethyl-4-[3-methoxyphenyl(1-propylpiperidin-4-yl)amino]benzamide fumarate (1:1). The latter gave 97% displacement of [3H]-bremazocine from δ-opioid receptors.

AN 2002:632801 CAPLUS
DN 137:169426
TI Preparation of 4-(diarylamino)piperidines as δ-opioid receptor agonists/antagonists.
IN Carson, John R.; Susan, Carmosin Richard J.; Fitzpatrick, Louis J.; Reitz, Allen B.; Jetter, Michele C.
PA Ortho-McNeil Pharmaceutical, Inc., USA
SO U.S., 13 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI US 6436959 | B1 | 20020820 | US 1998-220189 | 19981223 |
| PRAI US 1998-220189 | | 19981223 | | |

OS MARPAT 137:169426
IT **229478-95-9P 229478-99-3P 229479-04-3P**
**229479-05-4P 229479-06-5P 229479-12-3P**
**229479-13-4P 229479-14-5P 229479-19-0P**
**229479-21-4P 229479-22-5P 229479-27-0P**
**229479-28-1P 229479-29-2P 229479-34-9P**
**229479-35-0P 229479-37-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(diarylamino)piperidines as δ-opioid receptor agonists/antagonists)
RN 229478-95-9 CAPLUS
CN Pyrrolidine, 1-[4-[(3-chlorophenyl)(1-propyl-4-piperidinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



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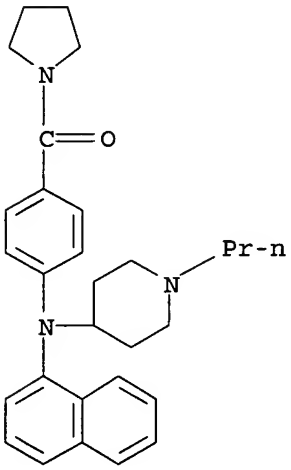

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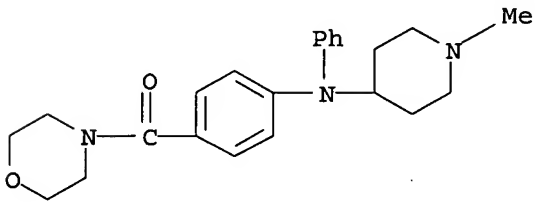
CN Morpholine, 4-[4-[(1-methyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA INDEX NAME)



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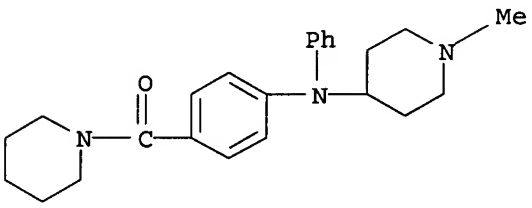


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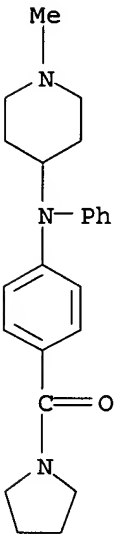


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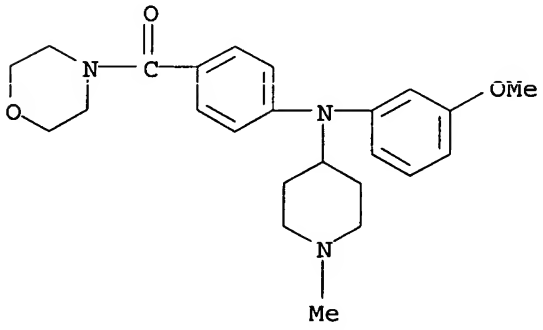

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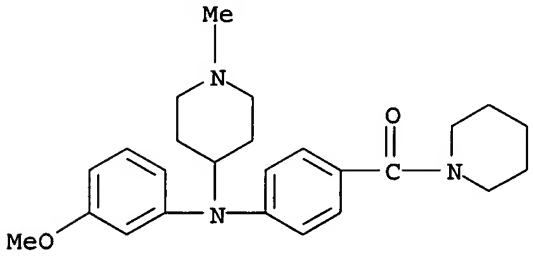

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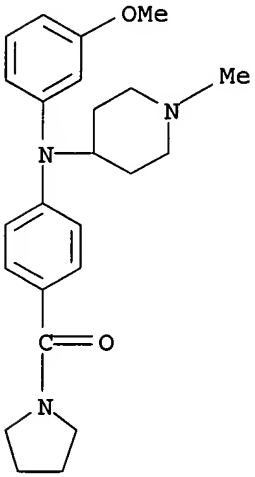

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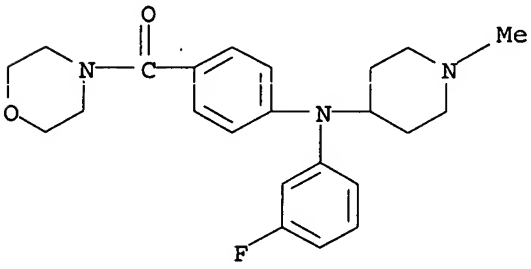

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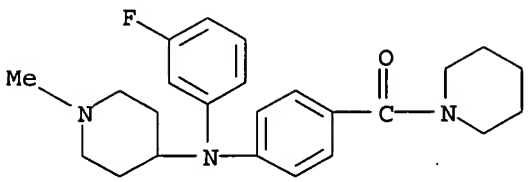

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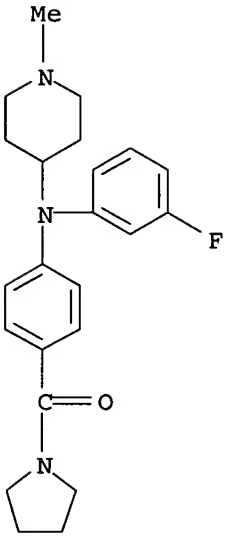

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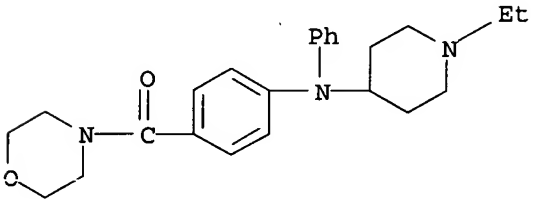

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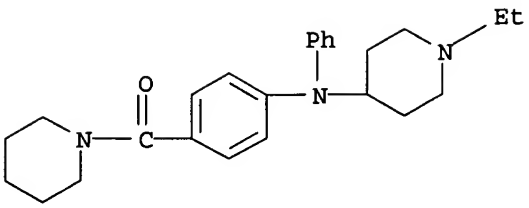

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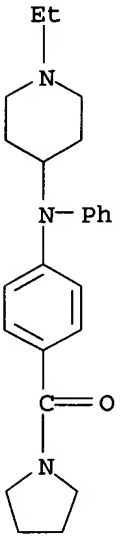

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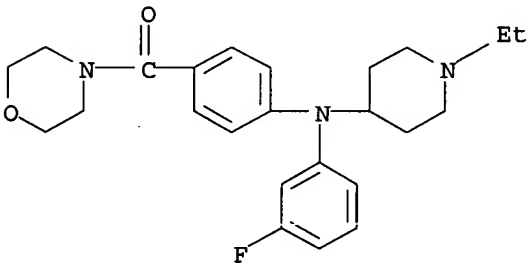

RN 229479-35-0 CAPLUS
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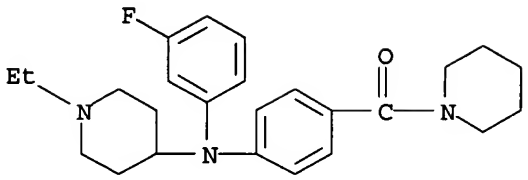

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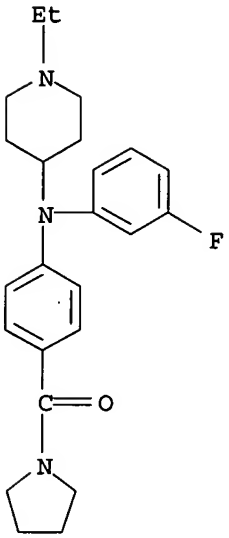
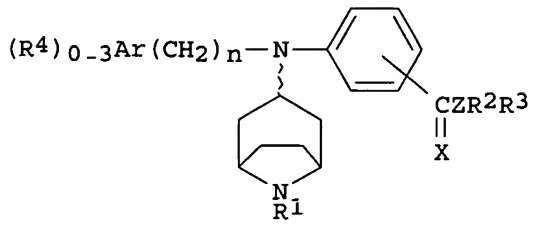


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI



I

AB 4-[Aryl(8-azabicyclo[3.2.1]octan-3-yl)]aminobenzoic acid derivs. I [R1 = alkyl, alkenyl, cycloalkyl, etc.; R2, R3 = H, alkyl, = (un)substituted Ph, etc.; X = S, O; Z = N, O; n = 0, 1; Ar = Ph, 1-naphthyl, 2-naphthyl; R4 = OH, halo, alkyl, CF3, etc.], delta-opioid receptor modulators and useful as analgesics, were prepared E.g. a solution of 8-methyl-N-phenyl-endo-8-azabicyclo[3.2.1]octan-3-amine, N,N-diethyl-4-bromobenzamide, tris(dibenzylideneacetone)dipalladium(0), tri-tert-butylphosphine, and

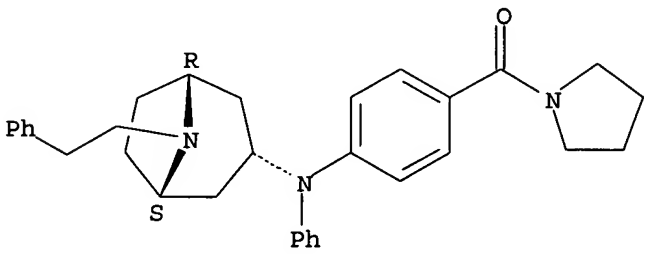
sodium tert-butoxide in dry toluene was heated at about 110°C under argon in a pressure vessel for about 16 h. to give N,N-diethyl-4-[phenyl(endo-8-methyl-8-azabicyclo[3.2.1]octan-3-yl)amino]benzamide isolated as 1:1 fumarate salt.

AN 2001:472716 CAPLUS
DN 135:76801
TI Preparation of 4-[aryl(8-azabicyclo[3.2.1]octan-3-yl)]aminobenzoic acid derivatives as delta-opioid receptor modulators
IN Carson, John R.; Boyd, Robert E.; Neilson, Lou Anne
PA Ortho-McNeil Pharmaceutical, Inc., USA
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001046191 | A1 | 20010628 | WO 2000-US33055 | 20001204 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2395471 | AA | 20010628 | CA 2000-2395471 | 20001204 |
| | US 6306876 | B1 | 20011023 | US 2000-728972 | 20001204 |
| | EP 1242421 | A1 | 20020925 | EP 2000-983946 | 20001204 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | JP 2003518119 | T2 | 20030603 | JP 2001-547101 | 20001204 |
| PRAI | US 1999-171422P | P | 19991222 | | |
| | US 2000-728972 | A | 20001204 | | |
| | WO 2000-US33055 | W | 20001204 | | |

OS MARPAT 135:76801
IT **287721-03-3P 346708-28-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-[aryl(8-azabicyclo[3.2.1]octan-3-yl)]aminobenzoic acid derivs. as delta-opioid receptor modulators)
RN 287721-03-3 CAPLUS
CN Pyrrolidine, 1-[4-[phenyl[(3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

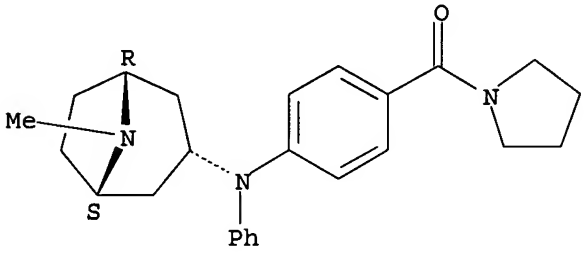


RN 346708-28-9 CAPLUS
CN Pyrrolidine, 1-[4-[[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]phenylamino]benzoyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

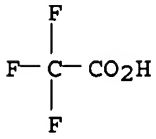
CRN 287721-01-1
CMF C25 H31 N3 O

Relative stereochemistry.




CM 2

CRN 76-05-1
CMF C2 H F3 O2

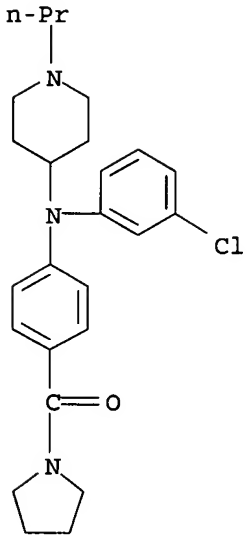



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

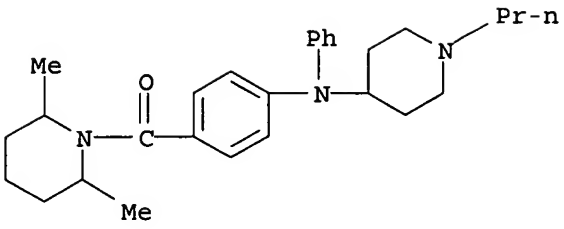
L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AB A series of 4-(N,N-diarylamino)piperidines are synthesized and evaluated for high affinity binding and selectivity to the &-opioid receptor using a combination of 3D-QSAR and mol. docking techniques. Based on exptl. ligand binding data to both μ- and δ-opioid receptors, CoMFA fields are generated and applied to identify potential ligand modifications to further optimize lead compds. Mol. docking expts. to the δ-receptor are also reported that explain the CoMFA trends predicted as well as the differential binding and selectivity displayed by various compds. in the series. An anal. of the binding site model proposed indicates the piperidines take advantage of 3 key sites or binding domains within the δ-receptor. These include an aromatic pocket (approx. 1/3 into the receptor cavity), an aspartic acid residue (which serves as a docking point for the piperidinyl cationic amine) and a hydrophobic pocket at the extracellular boundary of the receptor cavity. Links are established between ligand modification and amino acid composition at these sites in μ and δ, providing new insight to the structural basis to binding and selectivity across the series and for related piperazines (i.e. SNC80 and BW373U86). Results are also presented that indicate δ- and μ-selectivity may be determined at alternate sites, suggesting

opioid receptors may display multiple binding domains. The model is further supported by comparisons with opiate binding modes and site directed mutagenesis studies and is finally applied to suggest new strategies in ligand design.

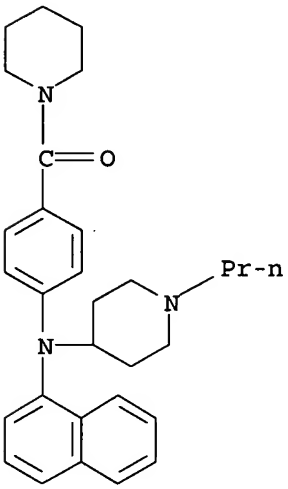
AN 2000:530560 CAPLUS
DN 133:261089
TI Synthesis and evaluation of 4-(N,N-diarylamino)piperidines with high selectivity to the δ-opioid receptor: a combined 3D-QSAR and ligand docking study
AU Podlogar, Brent L.; Poda, Gennady I.; Demeter, David A.; Zhang, Sui-Po; Carson, John R.; Neilson, Lou Anne; Reitz, Allen B.; Ferguson, David M.
CS Department of Chemistry, Bayer Research Center, West Haven, CT, 06516, USA
SO Drug Design and Discovery (2000), 17(1), 34-50
CODEN: DDDIEV; ISSN: 1055-9612
PB Harwood Academic Publishers
DT Journal
LA English
IT **229478-95-9 297750-53-9 297750-54-0**
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(synthesis and evaluation of (diarylamino)piperidines with high selectivity to δ-opioid receptor over μ-opioid receptor using combined 3D-QSAR and ligand docking study)
RN 229478-95-9 CAPLUS
CN Pyrrolidine, 1-[4-[(3-chlorophenyl)(1-propyl-4-piperidinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)




RN 297750-53-9 CAPLUS
CN Piperidine, 2,6-dimethyl-1-[4-[phenyl(1-propyl-4-piperidinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 297750-54-0 CAPLUS
CN Piperidine, 1-[4-[1-naphthalenyl(1-propyl-4-piperidinyl)amino]benzoyl]-
(9CI) (CA INDEX NAME)

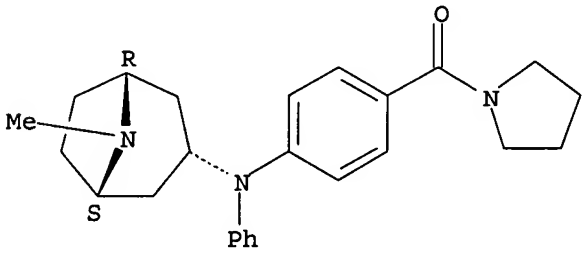


RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AB A series of 4-diarylaminotropanes has been prepared Both endo and exo diastereomeric forms bound to the delta opioid receptor but the endo isomers were more potent and selective vs. the μ opioid receptor than the exo isomers. The most potent delta opioid agonist exhibited a delta opioid Ki of 0.2 nM and was 860-fold selective over mu.
AN 2000:379678 CAPLUS
DN 133:144474
TI Synthesis and binding affinities of 4-diarylaminotropanes, a new class of delta opioid agonists
AU Boyd, Robert E.; Carson, John R.; Codd, Ellen E.; Gauthier, A. Diane; Neilson, Lou Anne; Zhang, Sui-Po
CS Drug Discovery, R. W. Johnson Pharmaceutical Research Institute, Philadelphia, PA, 19477, USA
SO Bioorganic & Medicinal Chemistry Letters (2000), 10(10), 1109-1111
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
IT **287721-01-1 287721-03-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

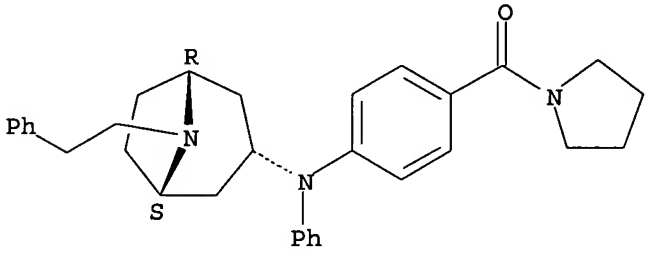
(Uses)
(synthesis and binding affinities of 4-diarylaminotropanes, a new class of delta opioid agonists)
RN 287721-01-1 CAPLUS
CN Pyrrolidine, 1-[4-[[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]phenylamino]benzoyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



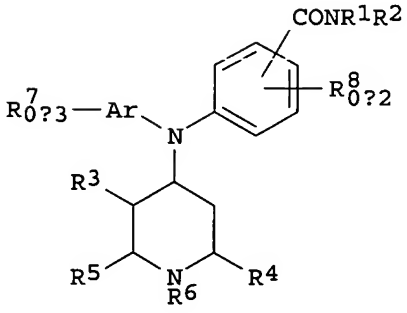

RN 287721-03-3 CAPLUS
CN Pyrrolidine, 1-[4-[phenyl[(3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.




RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI




I

AB 4-[Aryl(piperidin-4-yl)]aminobenzamides I [Ar = Ph, 1-naphthyl, 2-naphthyl; R1, R2 = H, alkyl, Ph, PhCH2, etc.; R3, R4, R5 = H, alkyl; R6 = H, alkyl, alkenyl, etc.; R7 = OH, halo, alkoxy, etc.; R8 = halo, alkyl, alkoxy, CF3 ], δ-opioid receptor agonists/antagonists, were prepared E.g., N,N-diethyl-4-[3-methoxyphenyl(1-propylpiperidin-4-yl)amino]benzamide fumarate was prepared As delta-opioid receptor agonists, such compds. are useful as analgesics.

AN 1999:460403 CAPLUS

DN 131:87826

TI Preparation of 4-[aryl(piperidin-4-yl)]aminobenzamides which bind to the delta-opioid receptor

IN Carson, John R.; Carmosin, Richard J.; Fitzpatrick, Louis J.; Reitz, Allen B.; Jetter, Michele C.

PA Ortho-Mcneil Pharmaceutical, Inc., USA

SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9933806 | A1 | 19990708 | WO 1998-US27350 | 19981223 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2316341 | AA | 19990708 | CA 1998-2316341 | 19981223 |
| | AU 9920097 | A1 | 19990719 | AU 1999-20097 | 19981223 |
| | ZA 9811842 | A | 20000623 | ZA 1998-11842 | 19981223 |
| | EP 1049676 | A1 | 20001108 | EP 1998-964871 | 19981223 |
| | EP 1049676 | B1 | 20051012 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| | JP 2001527068 | T2 | 20011225 | JP 2000-526490 | 19981223 |
| | AT 306472 | E | 20051015 | AT 1998-964871 | 19981223 |
| | TW 476755 | B | 20020221 | TW 1998-87121577 | 19990211 |
| | AU 2003220725 | A1 | 20030814 | AU 2003-220725 | 20030722 |
| PRAI | US 1997-68794P | P | 19971224 | | |
| | AU 1999-20097 | A3 | 19981223 | | |
| | WO 1998-US27350 | W | 19981223 | | |

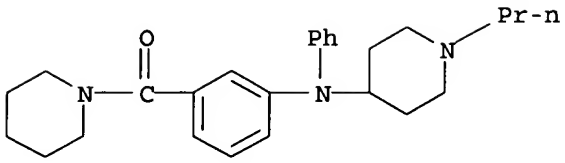
OS MARPAT 131:87826

IT **229478-61-9P 229478-95-9P 229478-99-3P**
**229479-04-3P 229479-05-4P 229479-06-5P**
**229479-12-3P 229479-13-4P 229479-14-5P**
**229479-19-0P 229479-21-4P 229479-22-5P**
**229479-27-0P 229479-28-1P 229479-29-2P**
**229479-34-9P 229479-35-0P 229479-37-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and δ-opioid receptor binding of [aryl(piperidinyl)]aminobenzamides)

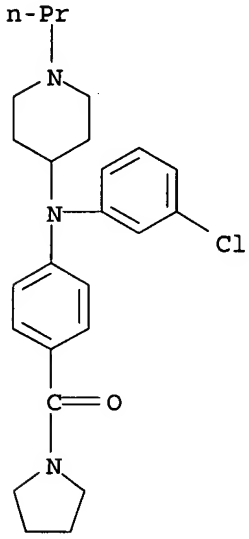
RN 229478-61-9 CAPLUS

CN Piperidine, 1-[3-[phenyl(1-propyl-4-piperidinyl)amino]benzoyl]- (9CI) (CA

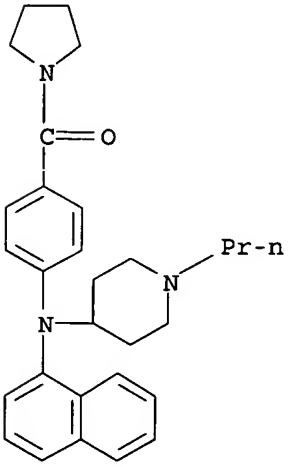
INDEX NAME)



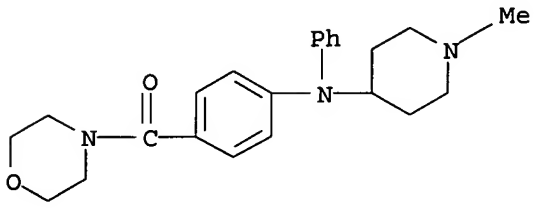
RN 229478-95-9 CAPLUS
CN Pyrrolidine, 1-[4-[(3-chlorophenyl)(1-propyl-4-piperidinyl)amino]benzoyl]-
(9CI) (CA INDEX NAME)



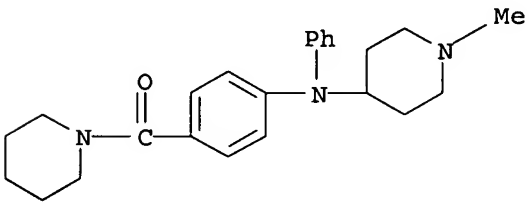
RN 229478-99-3 CAPLUS
CN Pyrrolidine, 1-[4-[1-naphthalenyl(1-propyl-4-piperidinyl)amino]benzoyl]-
(9CI) (CA INDEX NAME)



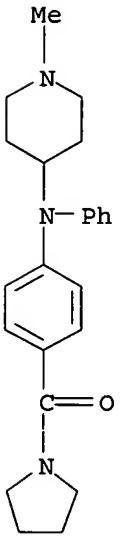
RN 229479-04-3 CAPLUS
CN Morpholine, 4-[4-[(1-methyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA INDEX NAME)



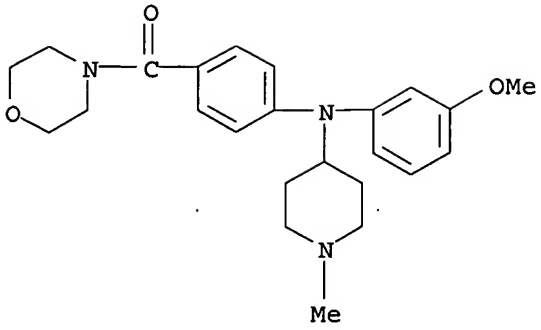
RN 229479-05-4 CAPLUS
CN Piperidine, 1-[4-[(1-methyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA INDEX NAME)



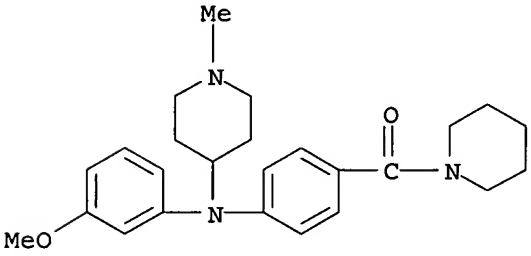
RN 229479-06-5 CAPLUS
CN Pyrrolidine, 1-[4-[(1-methyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA INDEX NAME)



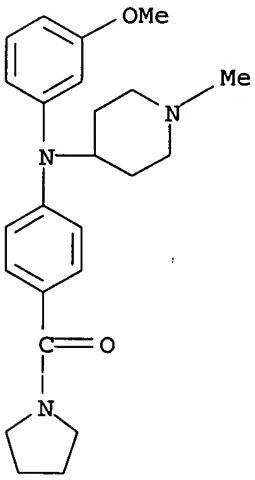
RN 229479-12-3 CAPLUS
CN Morpholine, 4-[4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



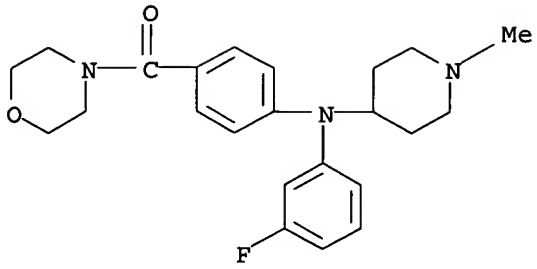
RN 229479-13-4 CAPLUS
CN Piperidine, 1-[4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-
(9CI) (CA INDEX NAME)



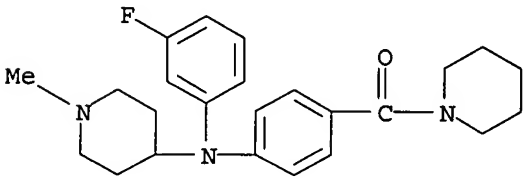
RN 229479-14-5 CAPLUS
CN Pyrrolidine, 1-[4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-
(9CI) (CA INDEX NAME)



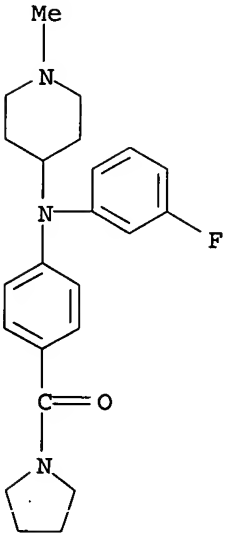
RN 229479-19-0 CAPLUS
CN Morpholine, 4-[4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-
(9CI) (CA INDEX NAME)



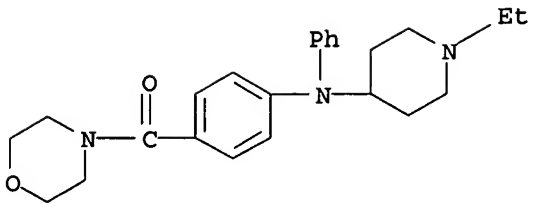
RN 229479-21-4 CAPLUS
CN Piperidine, 1-[4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



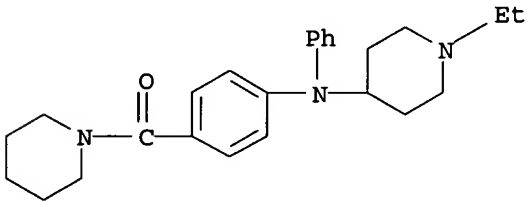
RN 229479-22-5 CAPLUS
CN Pyrrolidine, 1-[4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



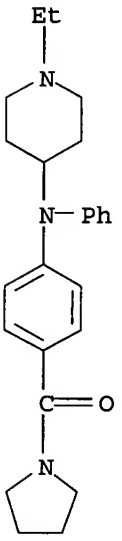
RN 229479-27-0 CAPLUS
CN Morpholine, 4-[4-[(1-ethyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA INDEX NAME)



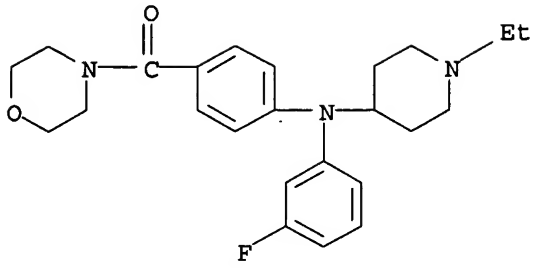
RN 229479-28-1 CAPLUS
CN Piperidine, 1-[4-[(1-ethyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA INDEX NAME)



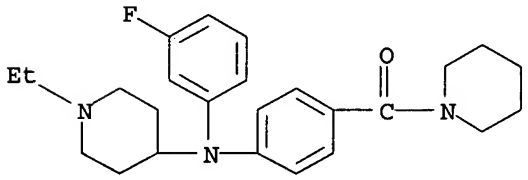
RN 229479-29-2 CAPLUS
CN Pyrrolidine, 1-[4-[(1-ethyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA INDEX NAME)



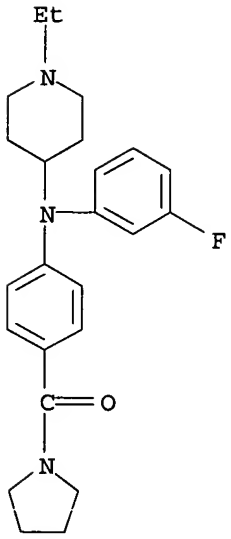
RN 229479-34-9 CAPLUS
CN Morpholine, 4-[4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 229479-35-0 CAPLUS
CN Piperidine, 1-[4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]benzoyl]-
(9CI) (CA INDEX NAME)



RN 229479-37-2 CAPLUS
CN Pyrrolidine, 1-[4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]benzoyl]-
(9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> s l2
L3          72 L2

=> d abs bib hitstr 65-72

L3   ANSWER 65 OF 72  CAPLUS  COPYRIGHT 2006 ACS on STN
GI   For diagram(s), see printed CA Issue.
AB   Fifteen piperidines [I; R = e.g., 4,2-MeCO(MeO)C6H3O(CH2)3,
     p-FC6H4CO(CH2)3, PhNHCO; R1 = cyclohexyl, Ph, p-FC6H4, m-CF3C6H4; R2 = H,
     F] and/or their oxalate salts, useful as inflammation inhibitors and
     tranquilizers (dosages given), were prepared  Thus, 1-acetyl-4-(α-
     cyclohexyl-α-hydroxybenzyl)piperidine was dehydrated-deacetylated by
     heating with 3N HCl-EtOH at reflux, and the product (I; R = R2 = H; R1 =
     cyclohexyl) was treated with PhNCO in C6H6 to give I (R = PhNHCO; R1, R2
     as above).
AN   1976:59224  CAPLUS
DN   84:59224
TI   1-Substituted-4-benzylidenepiperidines
IN   Duncan, Robert L., Jr.; Boswell, Robert F., Jr.
PA   A. H. Robins Co., Inc., USA
SO   U.S., 6 pp.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
PI   US 3922276           A     19751125    US 1974-531631          19741211
     IL 48593             A1    19790131    IL 1975-48593           19751202
     BE 836393            A1    19760401    BE 1975-162542          19751208
     DK 7505582           A     19760612    DK 1975-5582            19751209
     NO 7504179           A     19760614    NO 1975-4179            19751210
     SE 7513954           A     19760614    SE 1975-13954           19751210
     DE 2555590           A1    19760616    DE 1975-2555590         19751210
     FR 2293932           A1    19760709    FR 1975-37812           19751210
     FR 2293932           B1    19790622
     BR 7508161           A     19760824    BR 1975-8161            19751210
     ZA 7507747           A     19761124    ZA 1975-7747            19751210
     ES 443361            A1    19770416    ES 1975-443361          19751210
     GB 1533409           A     19781122    GB 1975-50638           19751210
     CA 1072562           A1    19800226    CA 1975-241423          19751210
     NL 7514461           A     19760615    NL 1975-14461           19751211
     JP 51082277          A2    19760719    JP 1975-147873          19751211
     AU 7587477           A1    19770616    AU 1975-87477           19751211
     AT 352128            B     19790910    AT 1975-9429            19751211
     AT 7509429           A     19790215
PRAI US 1974-531631       A     19741211
IT   58113-40-9P 58113-41-0P 58113-43-2P
     58113-45-4P 58113-47-6P 58113-49-8P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of)
RN   58113-40-9  CAPLUS
CN   1-Butanone, 1-(4-fluorophenyl)-4-[4-[(4-fluorophenyl)phenylmethylene]-1-
     piperidinyl]- (9CI)  (CA INDEX NAME)
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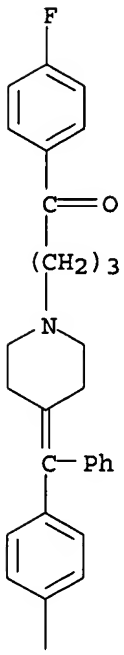
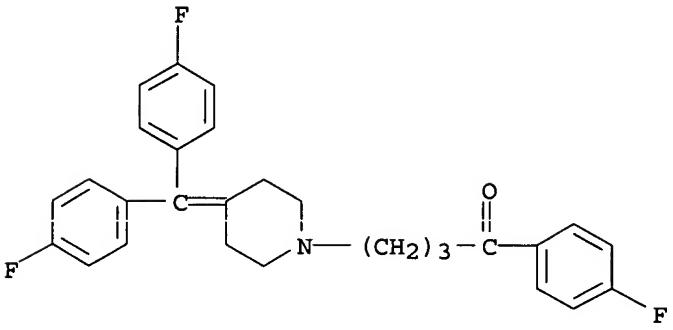
PAGE 1-A



PAGE 2-A

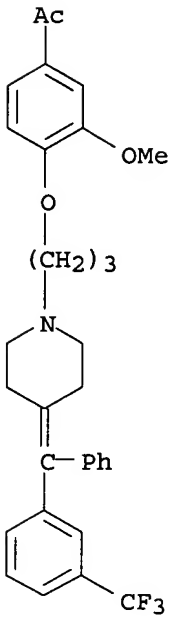
RN 58113-41-0 CAPLUS
CN 1-Butanone, 4-[4-[bis(4-fluorophenyl)methylene]-1-piperidinyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 58113-43-2 CAPLUS
CN Ethanone, 1-[3-methoxy-4-[3-[4-[phenyl[3-(trifluoromethyl)phenyl]methylene]-1-piperidinyl]propoxy]phenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

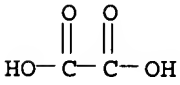
CM 1

CRN 58113-42-1
CMF C31 H32 F3 N O3




CM 2

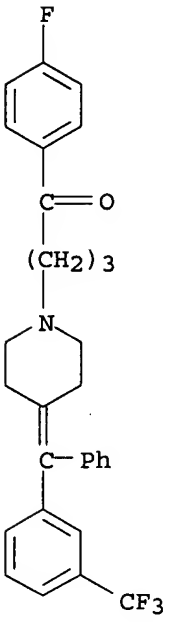
CRN 144-62-7
CMF C2 H2 O4




RN 58113-45-4 CAPLUS
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-[phenyl[3-(trifluoromethyl)phenyl]methylene]-1-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

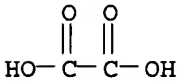
CM 1

CRN 58113-44-3
CMF C29 H27 F4 N O



CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 58113-47-6 CAPLUS
CN Ethanone, 1-[4-[3-[4-[(4-fluorophenyl)phenylmethylene]-1-piperidinyl]propoxy]-3-methoxyphenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 58113-46-5
CMF C30 H32 F N O3

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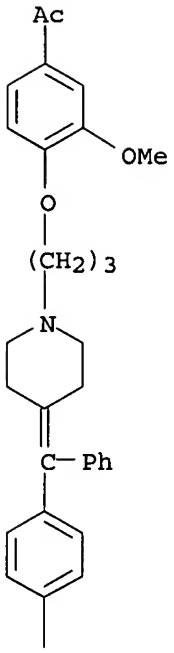
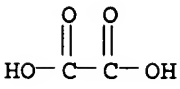


PAGE 2-A



CM 2

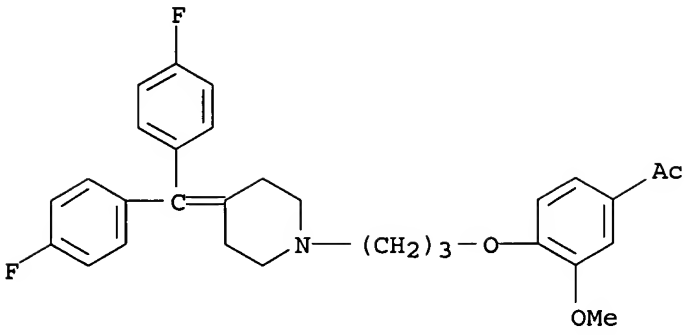
CRN 144-62-7
CMF C2 H2 O4



RN 58113-49-8 CAPLUS
CN Ethanone, 1-[4-[3-[4-[bis(4-fluorophenyl)methylene]-1-piperidinyl]propoxy]-3-methoxyphenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

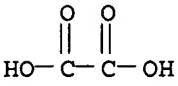
CM 1

CRN 58113-48-7
CMF C30 H31 F2 N O3



CM 2

CRN 144-62-7
CMF C2 H2 O4

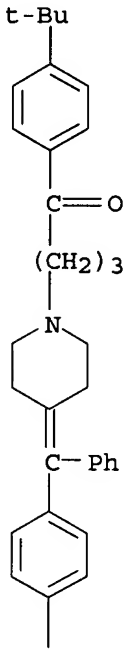


L3 ANSWER 66 OF 72 CAPLUS COPYRIGHT 2006 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Piperidinobutanols I and II (R = p-Me3CC6H4, cyclohexyl, R1 = OH, R2 = H, R3 = H, CMe3) were prepared by reducing I and II (R1R2 = O) with KBH4. I (R = cyclohexyl, R1 = OH, R2 = H, R3 = CMe3) and II (R1 = OH, R2 = H) had antihistaminic ED50 of 12 and 4.4 mg/kg resp. orally in guinea pigs.
AN 1976:43856 CAPLUS
DN 84:43856
TI α-Aryl-4-substituted piperidinoalkyl derivatives
IN Carr, Albert A.; Kinsolving, Clyde R.
PA Richardson-Merrell Inc., USA
SO Ger. Offen., 26 pp. Addn. to Ger. Offen. 2,303,306.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 2503362 | A1 | 19750821 | DE 1975-2503362 | 19750128 |
| | US 3941795 | A | 19760302 | US 1974-440855 | 19740208 |
| | AU 7476688 | A1 | 19760624 | AU 1974-76688 | 19741220 |
| | IL 46341 | A1 | 19780929 | IL 1974-46341 | 19741225 |
| | ZA 7500048 | A | 19760128 | ZA 1975-48 | 19750102 |
| | JP 50108266 | A2 | 19750826 | JP 1975-7179 | 19750117 |
| | GB 1437939 | A | 19760603 | GB 1975-3393 | 19750127 |
| | CA 1082703 | A1 | 19800729 | CA 1975-219191 | 19750131 |
| | SE 7501196 | A | 19750811 | SE 1975-1196 | 19750204 |
| | CH 609972 | A | 19790330 | CH 1975-1297 | 19750204 |
| | FR 2260348 | A2 | 19750905 | FR 1975-3733 | 19750206 |
| | DK 7500417 | A | 19751006 | DK 1975-417 | 19750206 |
| | BE 825302 | A4 | 19750529 | BE 1975-153164 | 19750207 |
| | NO 7500394 | A | 19750811 | NO 1975-394 | 19750207 |

NL 7501463 A 19750812 NL 1975-1463 19750207
PRAI US 1974-440855 A 19740208
IT **57257-38-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of)
RN 57257-38-2 CAPLUS
CN 1-Butanone, 1-[4-(1,1-dimethylethyl)phenyl]-4-[4-[(4-methylphenyl)phenylmethylene]-1-piperidinyl]-, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A




PAGE 2-A

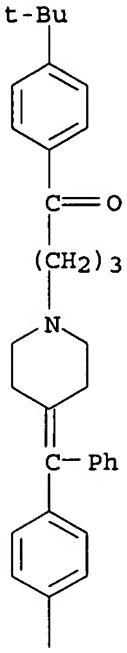

L3 ANSWER 67 OF 72 CAPLUS COPYRIGHT 2006 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Piperidinobutyrophenones I (R = H, R1 = cyclopentyl, CMe3; R = CMe3, R1 = H; R = Me, Cl, CMe3, R1 = CMe3; R = Cl, R1 = F) and some related compds. were prepared by treating the piperidines with the 4-chlorobutanones. Thus, 4-piperidinyldiphenylmethanol was treated with 4-chloro-4'-cyclopentylbutyrophenone to give I (R = H, R1 = cyclopentyl), which had an antihistaminic ED50 orally in guinea pigs of 2.5 mg/kg.

AN 1975:593101 CAPLUS
DN 83:193101
TI Substituted piperidine derivatives
IN Carr, Albert A.; Kinsolving, Clyde R.
PA Richardson-Merrell Inc., USA
SO Ger. Offen., 35 pp. Addn. to Ger. Offen. 2,303,305.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 2503002 | A1 | 19750814 | DE 1975-2503002 | 19750125 |
| | US 3931197 | A | 19760106 | US 1974-440856 | 19740208 |
| | AU 7476689 | A1 | 19760624 | AU 1974-76689 | 19741220 |
| | IL 46340 | A1 | 19790531 | IL 1974-46340 | 19741225 |
| | ZA 7500049 | A | 19760128 | ZA 1975-49 | 19750102 |
| | JP 50108265 | A2 | 19750826 | JP 1975-7178 | 19750117 |
| | GB 1437940 | A | 19760603 | GB 1975-3394 | 19750127 |
| | CA 1082704 | A1 | 19800729 | CA 1975-219192 | 19750131 |
| | SE 7501197 | A | 19750811 | SE 1975-1197 | 19750204 |
| | CH 599938 | A | 19780615 | CH 1975-1296 | 19750204 |
| | FR 2260349 | A2 | 19750905 | FR 1975-3734 | 19750206 |
| | FR 2260350 | A2 | 19750905 | FR 1975-3735 | 19750206 |
| | DK 7500418 | A | 19751006 | DK 1975-418 | 19750206 |
| | ES 434512 | A2 | 19770401 | ES 1975-434512 | 19750206 |
| | BE 825301 | A4 | 19750529 | BE 1975-153163 | 19750207 |
| | BE 825309 | A4 | 19750529 | BE 1975-153171 | 19750207 |
| | NO 7500395 | A | 19750811 | NO 1975-395 | 19750207 |
| | NL 7501462 | A | 19750812 | NL 1975-1462 | 19750207 |
| PRAI | US 1974-440856 | A | 19740208 | | |

IT **57257-38-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antihistaminic activity of)
RN 57257-38-2 CAPLUS
CN 1-Butanone, 1-[4-(1,1-dimethylethyl)phenyl]-4-[4-[(4-methylphenyl)phenylmethylene]-1-piperidinyl]-, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A




PAGE 2-A


● HCl

L3 ANSWER 68 OF 72 CAPLUS COPYRIGHT 2006 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Anti-histaminic piperidinobutyrophenone oximes I (R = H, F, Br, CMe3, NMe2, OMe, Me, piperidino), their dehydrated analogs and some related compds. (17 compds.) were prepared by oximation of the ketones. I ( R = F) has an antihistaminic ED50 orally in guinea pigs of 5.4 mg/kg.
AN 1974:535971 CAPLUS
DN 81:135971
TI Substituted piperidinoalkanone oxime derivatives
IN Carr, Albert A.; Kinsolving, C. Richard; Meyer, Donald R.
PA Richardson-Merrell Inc.
SO U.S., 5 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 3829433 | A | 19740813 | US 1972-221822 | 19720128 |

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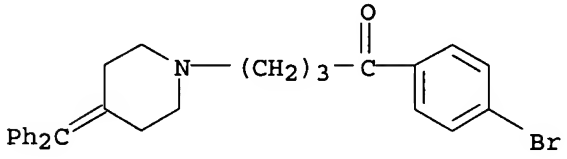
|---|---|---|---|---|---|
| | ZA 7208543 | A | 19730829 | ZA 1972-8543 | 19721204 |
| | AU 7249897 | A1 | 19740613 | AU 1972-49897 | 19721211 |
| | GB 1413139 | A | 19751105 | GB 1972-57996 | 19721215 |
| | CH 582672 | A | 19761215 | CH 1972-18543 | 19721220 |
| | JP 48085577 | A2 | 19731113 | JP 1972-130135 | 19721227 |
| | JP 51012631 | B4 | 19760421 | | |
| | SU 461497 | D | 19750225 | SU 1972-1867106 | 19721227 |
| | AT 321915 | B | 19750425 | AT 1972-11154 | 19721229 |
| | CA 978947 | A1 | 19751202 | CA 1973-161414 | 19730116 |
| | HU 166478 | P | 19750328 | HU 1973-RI499 | 19730117 |
| | ES 410729 | A1 | 19760401 | ES 1973-410729 | 19730118 |
| | NL 7300870 | A | 19730731 | NL 1973-870 | 19730122 |
| | DE 2303246 | A1 | 19730802 | DE 1973-2303246 | 19730124 |
| | DE 2303246 | B2 | 19800619 | | |
| | DE 2303246 | C3 | 19810409 | | |
| | FR 2181691 | A1 | 19731207 | FR 1973-2504 | 19730124 |
| | SE 382060 | B | 19760112 | SE 1973-975 | 19730124 |
| | DE 2365906 | A1 | 19761021 | DE 1973-2365906 | 19730124 |
| | DD 103240 | C | 19740112 | DD 1973-168484 | 19730125 |
| | BE 794596 | A1 | 19730516 | BE 1973-126937 | 19730126 |
| | PL 89096 | P | 19761030 | PL 1973-160420 | 19730126 |
| | CS 177835 | P | 19770831 | CS 1973-631 | 19730126 |
| | DK 136312 | B | 19770926 | DK 1973-450 | 19730126 |
| | NO 140058 | B | 19790319 | NO 1973-327 | 19730126 |
| | NO 140058 | C | 19790704 | | |
| PRAI | US 1972-221822 | A | 19720128 | | |

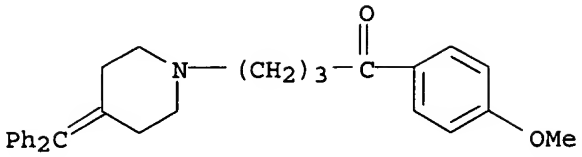
IT **43076-34-2 50707-01-2 54238-33-4**
**54238-34-5 54238-35-6 54238-36-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(oximation of)

RN 43076-34-2 CAPLUS
CN 1-Butanone, 1-(4-bromophenyl)-4-[4-(diphenylmethylene)-1-piperidinyl]-, hydrochloride (9CI) (CA INDEX NAME)



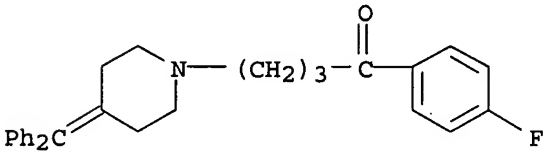

● HCl

RN 50707-01-2 CAPLUS
CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)



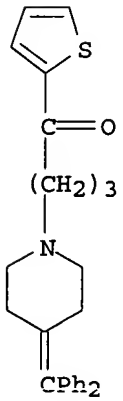
● HCl

RN 54238-33-4 CAPLUS
CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)



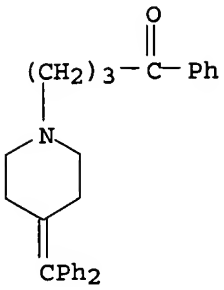
● HCl

RN 54238-34-5 CAPLUS
CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(2-thienyl)-, hydrochloride (9CI) (CA INDEX NAME)



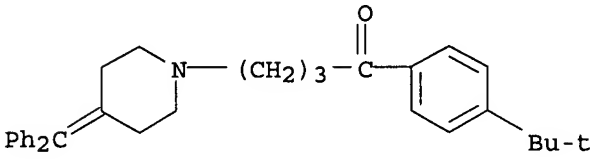
● HCl

RN 54238-35-6 CAPLUS
CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 54238-36-7 CAPLUS
CN 1-Butanone, 1-[4-(1,1-dimethylethyl)phenyl]-4-[4-(diphenylmethylene)-1-piperidinyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L3 ANSWER 69 OF 72 CAPLUS COPYRIGHT 2006 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Fifteen title compds. (I; R = OH or H, R1 = H, or RR1 = a bond; R2 = 2-thienyl or C6H4R3-4 with R3 = F, H, Me, CMe3, Br, piperidino, or NMe2; n = 1-3) were prepared mainly as hydrochlorides by N-alkylation of the piperidines II in the presence of KI and NaHCO3. I were effective orally as antihistaminics against histamine-induced skin irritations in guinea pigs and also useful as antiallergics and bronchodilators.
AN 1973:505085 CAPLUS
DN 79:105085
TI Antihistaminic piperidine derivatives
IN Carr, Albert A.; Kinsolving, Clyde R.
PA Richardson-Merrell Inc.
SO Ger. Offen., 29 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 2303305 | A1 | 19730802 | DE 1973-2303305 | 19730124 |
| | DE 2303305 | C3 | 19790913 | | |
| | DE 2303305 | B2 | 19790104 | | |

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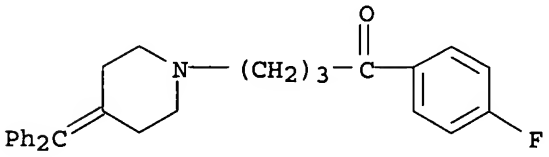
|---|---|---|---|---|---|
| | US 3806526 | A | 19740423 | US 1972-221823 | 19720128 |
| | ZA 7208542 | A | 19730829 | ZA 1972-8542 | 19721204 |
| | AU 7249894 | A1 | 19740613 | AU 1972-49894 | 19721211 |
| | GB 1412605 | A | 19751105 | GB 1972-57994 | 19721215 |
| | CH 584197 | A | 19770131 | CH 1972-18544 | 19721220 |
| | JP 48085576 | A2 | 19731113 | JP 1972-130134 | 19721227 |
| | JP 51015034 | B4 | 19760513 | | |
| | SU 472502 | D | 19750530 | SU 1972-1865664 | 19721227 |
| | AT 321916 | B | 19750425 | AT 1972-11155 | 19721229 |
| | AT 321912 | B | 19750425 | AT 1974-3065 | 19721229 |
| | CA 994779 | A1 | 19760810 | CA 1973-161366 | 19730116 |
| | CA 994784 | A1 | 19760810 | CA 1973-161367 | 19730116 |
| | HU 167948 | P | 19760128 | HU 1973-RI501 | 19730117 |
| | ES 410730 | A1 | 19760416 | ES 1973-410730 | 19730118 |
| | NL 7300869 | A | 19730731 | NL 1973-869 | 19730122 |
| | NL 174348 | B | 19840102 | | |
| | NL 174348 | C | 19840601 | | |
| | FR 2181692 | A1 | 19731207 | FR 1973-2505 | 19730124 |
| | SE 382059 | B | 19760112 | SE 1973-976 | 19730124 |
| | DD 104297 | C | 19740312 | DD 1973-168485 | 19730125 |
| | BE 794595 | A1 | 19730516 | BE 1973-126936 | 19730126 |
| | PL 89087 | P | 19761030 | PL 1973-160415 | 19730126 |
| | PL 92127 | P | 19770331 | PL 1973-182184 | 19730126 |
| | CS 177836 | P | 19770831 | CS 1973-632 | 19730126 |
| | DK 136714 | B | 19771114 | DK 1973-451 | 19730126 |
| | NO 140059 | B | 19790319 | NO 1973-328 | 19730126 |
| | NO 140059 | C | 19790704 | | |
| PRAI | US 1972-221823 | A | 19720128 | | |

IT **43076-31-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 43076-31-9 CAPLUS
CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-fluorophenyl)-
(9CI) (CA INDEX NAME)



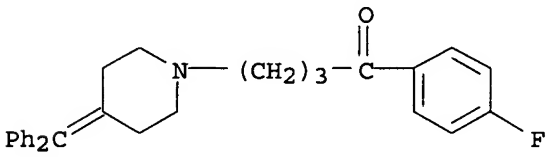

L3 ANSWER 70 OF 72 CAPLUS COPYRIGHT 2006 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Eleven title compds. (I; R = OH or H; R1 = H, or RR1 = a bond; n = 3 or 1; R2 = 2-thienyl or C6H4R3-4 with R3 = CMe3, F, Br, H, NMe2, or OMe) were prepared mainly as hydrochlorides by NaBH4 reduction of the corresponding ketones. I were used as antihistaminics and broncholytic agents.
AN 1973:505083 CAPLUS
DN 79:105083
TI Antihistaminic and broncholytic piperidinoalkanols
IN Carr, Albert Anthony; Kinsolving, Clyde R.
PA Richardson-Merrell Inc.
SO Ger. Offen., 25 pp.
CODEN: GWXXBX
DT Patent

LA German
FAN.CNT 1

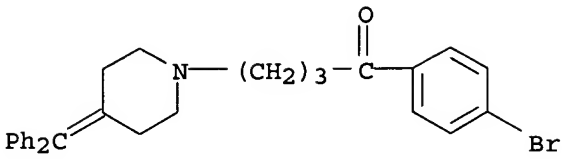
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 2303306 | A1 | 19730802 | DE 1973-2303306 | 19730124 |
| | DE 2303306 | C3 | 19790531 | | |
| | DE 2303306 | B2 | 19780928 | | |
| | ZA 7208544 | A | 19740227 | ZA 1972-8544 | 19721204 |
| | AU 7249893 | A1 | 19740613 | AU 1972-49893 | 19721211 |
| | GB 1413138 | A | 19751105 | GB 1972-57995 | 19721215 |
| | CH 587820 | A | 19770513 | CH 1972-18542 | 19721220 |
| | CH 593259 | A | 19771130 | CH 1976-13814 | 19721220 |
| | JP 48085578 | A2 | 19731113 | JP 1972-130136 | 19721227 |
| | JP 51015035 | B4 | 19760513 | | |
| | AT 321917 | B | 19750425 | AT 1972-11153 | 19721229 |
| | AT 323742 | B | 19750725 | AT 1972-323742 | 19721229 |
| | CA 978946 | A1 | 19751202 | CA 1973-161413 | 19730116 |
| | HU 166477 | P | 19750328 | HU 1973-RI498 | 19730117 |
| | ES 410731 | A1 | 19760401 | ES 1973-410731 | 19730118 |
| | NL 7300873 | A | 19730731 | NL 1973-873 | 19730122 |
| | NL 175410 | B | 19840601 | | |
| | NL 175410 | C | 19841101 | | |
| | FR 2181690 | A1 | 19731207 | FR 1973-2503 | 19730124 |
| | SE 382058 | B | 19760112 | SE 1973-974 | 19730124 |
| | DD 103239 | C | 19740112 | DD 1973-168482 | 19730125 |
| | BE 794597 | A1 | 19730516 | BE 1973-126938 | 19730126 |
| | PL 89095 | P | 19761030 | PL 1973-160419 | 19730126 |
| | CS 177834 | P | 19770831 | CS 1973-630 | 19730126 |
| | DK 136713 | C | 19780501 | DK 1973-449 | 19730126 |
| | NO 140057 | B | 19790319 | NO 1973-326 | 19730126 |
| | NO 140057 | C | 19790704 | | |
| | SU 464997 | D | 19750325 | SU 1973-1878074 | 19730127 |
| | US 3878217 | A | 19750415 | US 1973-378561 | 19730712 |
| PRAI | US 1972-221821 | A | 19720128 | | |

IT **43076-31-9 43076-34-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of)

RN 43076-31-9 CAPLUS
CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-fluorophenyl)-
(9CI) (CA INDEX NAME)




RN 43076-34-2 CAPLUS
CN 1-Butanone, 1-(4-bromophenyl)-4-[4-(diphenylmethylene)-1-piperidinyl]-,
hydrochloride (9CI) (CA INDEX NAME)



● HCl

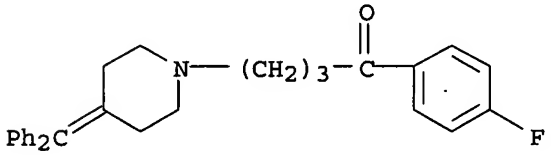
L3 ANSWER 71 OF 72 CAPLUS COPYRIGHT 2006 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Twelve piperidine derivs. (I, R = H, R1 = Ph2CH, or RR1 = Ph2C; R2 = Ph, substituted phenyl, or 2-thienyl; Q = CH:CH or CO; n = 2 or 3) were prepared, mostly as hydrochlorides, preferably by dehydration of I Q = CH2CH(OH) or CO, R = H, R1 = Ph2CH or Ph2C(OH) in the presence of HCl. Three I exhibited antihistaminic and bronchodilating effects in guinea pigs.
AN 1973:505077 CAPLUS
DN 79:105077
TI Antihistaminic and bronchodilating piperidine derivatives
IN Carr, Albert Anthony; Kinsolving, Clyde R.
PA Richardson-Merrell Inc.
SO Ger. Offen., 26 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 2303245 | A1 | 19730802 | DE 1973-2303245 | 19730124 |
| | DE 2303245 | C3 | 19790503 | | |
| | US 3862173 | A | 19750121 | US 1972-221820 | 19720128 |
| | ZA 7208545 | A | 19730829 | ZA 1972-8545 | 19721204 |
| | AU 7249901 | A1 | 19740613 | AU 1972-49901 | 19721211 |
| | GB 1413140 | A | 19751105 | GB 1972-57997 | 19721215 |
| | CH 582673 | A | 19761215 | CH 1972-18545 | 19721220 |
| | JP 48085579 | A2 | 19731113 | JP 1972-130137 | 19721227 |
| | JP 51015036 | B4 | 19760513 | | |
| | SU 516349 | D | 19760530 | SU 1972-1867104 | 19721228 |
| | AT 323743 | B | 19750725 | AT 1972-11152 | 19721229 |
| | CA 994780 | A1 | 19760810 | CA 1973-161412 | 19730116 |
| | HU 166479 | P | 19750328 | HU 1973-RI500 | 19730117 |
| | ES 410732 | A1 | 19760401 | ES 1973-410732 | 19730118 |
| | NL 7300872 | A | 19730731 | NL 1973-872 | 19730122 |
| | FR 2181689 | A1 | 19731207 | FR 1973-2502 | 19730124 |
| | SE 382455 | B | 19760202 | SE 1973-973 | 19730124 |
| | DD 103238 | C | 19740112 | DD 1973-168483 | 19730125 |
| | BE 794598 | A1 | 19730516 | BE 1973-126939 | 19730126 |
| | PL 89094 | P | 19761030 | PL 1973-160416 | 19730126 |
| | CS 177833 | P | 19770831 | CS 1973-629 | 19730126 |
| | DK 137327 | B | 19780220 | DK 1973-448 | 19730126 |
| | NO 140056 | B | 19790319 | NO 1973-325 | 19730126 |
| | NO 140056 | C | 19790704 | | |
| | US 4180583 | A | 19791225 | US 1978-892636 | 19780403 |
| PRAI | US 1972-221820 | A | 19720128 | | |

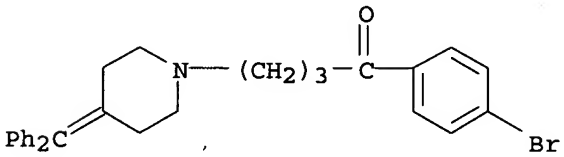
US 1975-538504 A3 19750106

IT **43076-31-9P 43076-34-2P 50707-01-2P 50707-23-8P 54238-33-4P 54238-34-5P 54238-35-6P 54238-36-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 43076-31-9 CAPLUS
CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-fluorophenyl)-(9CI) (CA INDEX NAME)

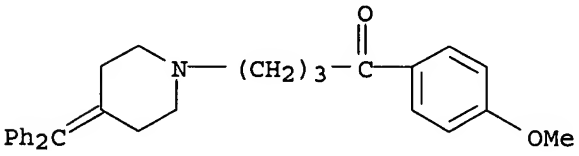


RN 43076-34-2 CAPLUS
CN 1-Butanone, 1-(4-bromophenyl)-4-[4-(diphenylmethylene)-1-piperidinyl]-, hydrochloride (9CI) (CA INDEX NAME)



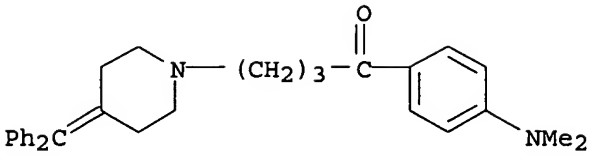
● HCl

RN 50707-01-2 CAPLUS
CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

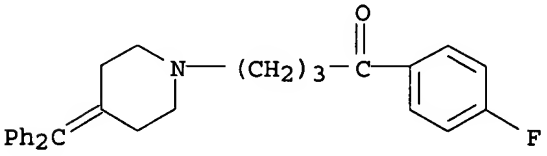


● HCl

RN 50707-23-8 CAPLUS
CN 1-Butanone, 1-[4-(dimethylamino)phenyl]-4-[4-(diphenylmethylene)-1-piperidinyl]- (9CI) (CA INDEX NAME)

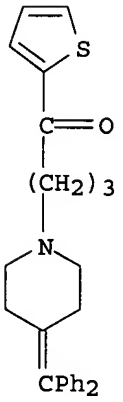


RN 54238-33-4 CAPLUS
CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)



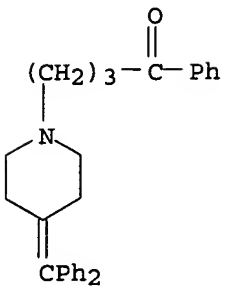
● HCl

RN 54238-34-5 CAPLUS
CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(2-thienyl)-, hydrochloride (9CI) (CA INDEX NAME)



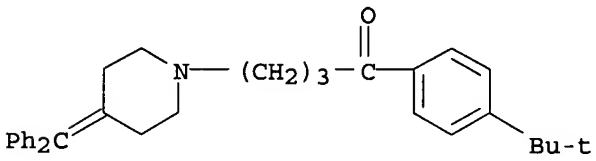
● HCl

RN 54238-35-6 CAPLUS
CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 54238-36-7 CAPLUS
CN 1-Butanone, 1-[4-(1,1-dimethylethyl)phenyl]-4-[4-(diphenylmethylene)-1-piperidinyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L3 ANSWER 72 OF 72 CAPLUS COPYRIGHT 2006 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Six oximes (I, R = F, Br, MeO, Me3C, or Me2N; R1 = H or OH; n = 1 or 3) were prepared, partly as hydrochlorides, by reaction of II with H2NOH.HCl. Three I.HCl had antihistaminic and bronchodilating effects in guinea pigs.
AN 1973:505076 CAPLUS
DN 79:105076
TI Antihistaminic and bronchodilating aryl piperidinoalkyl ketone oximes
IN Carr, Albert Anthony; Kinsolving, Clyde R.; Meyer, Donald Ralph
PA Richardson-Merrell Inc.
SO Ger. Offen., 23 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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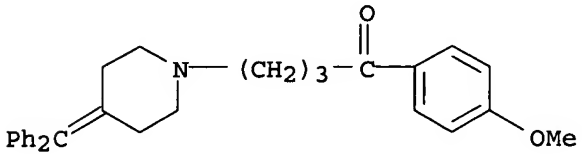
| PI | DE 2303246 | A1 | 19730802 | DE 1973-2303246 | 19730124 |
| | DE 2303246 | B2 | 19800619 | | |
| | DE 2303246 | C3 | 19810409 | | |
| | US 3829433 | A | 19740813 | US 1972-221822 | 19720128 |
| PRAI | US 1972-221822 | A | 19720128 | | |

IT **50707-01-2**
RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with hydroxylamine)

RN 50707-01-2 CAPLUS

CN 1-Butanone, 4-[4-(diphenylmethylene)-1-piperidinyl]-1-(4-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)




● HCl

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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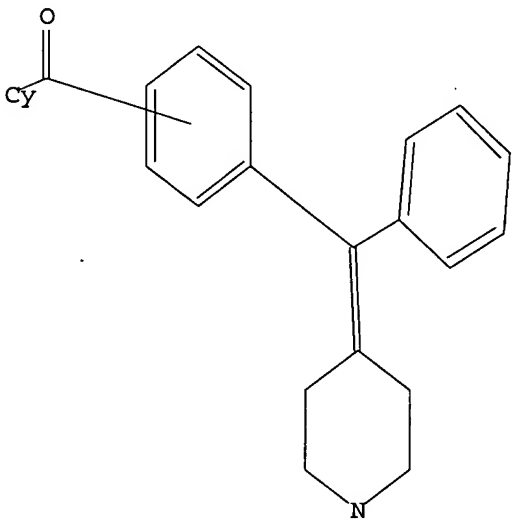


Structure attributes must be viewed using STN Express query preparation.

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FILE COVERS 1907 - 27 Mar 2006  VOL 144 ISS 14
FILE LAST UPDATED: 26 Mar 2006  (20060326/ED)

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http://www.cas.org/infopolicy.html

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L6   ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2006 ACS on STN
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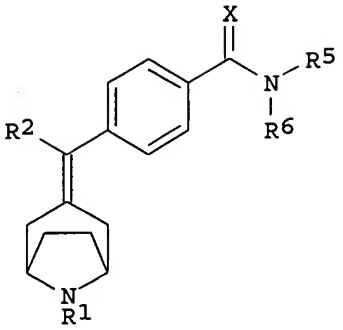

I

AB The title compds. [I; R1 = H, alkyl, cycloalkylalkyl, heterocyclylalkyl, aralkyl, etc.; R2 = H, halo, CN, benzodioxolyl, etc.; X = O, S; R5-R6 = H, alkyl] and their pharmaceutically acceptable enantiomers, diastereoisomers and salts, were prepared Thus, tropinone and N,N-diethyl-4-benzoylbenzamide (preparation given) in THF were added to a prerefluxed mixture of TiCl4 and Zn in THF followed by 3 h reflux to give N,N-diethyl-4-[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]benzamide hydrochloride. The latter at 150 μmole/kg orally in mice gave 87% inhibition of acetylcholine bromide-induced abdominal constriction. Depending on their agonist or antagonist effect, I are analgesics, immunosuppressants, antiinflammatory agents, neurol. and psychiatric drugs, medicaments for drug and alc. abuse, agents for treating gastritis and diarrhea, cardiovascular agents and agents for the treatment of respiratory diseases.

AN 2005:15941 CAPLUS

DN 142:113912

TI Preparation of 3-(diarylmethylene)-8-azabicyclo[3.2.1]octanes as δ- or μ-opioid receptor modulators

IN Coats, Steven J.; Carson, John R.; Neilson, Lou Anne; Pitis, Philip M.; Schulz, Mark J.

PA USA

SO U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of U.S. Ser. No. 360,859, abandoned.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|---|
| PI | US 2005004163 | A1 | 20050106 | US 2004-767712 | | 20040129 |
| | | | | US 2000-186778P | P | 20000303 |
| | | | | US 2001-791246 | A1 | 20010222 |
| | | | | US 2003-360859 | B2 | 20030207 |
| | US 2002115662 | A1 | 20020822 | US 2001-791246 | | 20010222 |
| | US 6552036 | B2 | 20030422 | | | |
| | | | | US 2000-186778P | P | 20000303 |
| | US 2003181447 | A1 | 20030925 | US 2003-360859 | | 20030207 |
| | | | | US 2001-791246 | A1 | 20010222 |

PATENT FAMILY INFORMATION:

FAN 2001:676766

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001066543 | A2 | 20010913 | WO 2001-US5735 | 20010222 |

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| WO 2001066543 | A3 | 20020314 | | | |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | | |
| | | | US 2000-186778P | P | 20000303 |
| CA 2402039 | AA | 20010913 | CA 2001-2402039 | | 20010222 |
| | | | US 2000-186778P | P | 20000303 |
| | | | WO 2001-US5735 | W | 20010222 |
| BR 2001008965 | A | 20021126 | BR 2001-8965 | | 20010222 |
| | | | US 2000-186778P | P | 20000303 |
| | | | WO 2001-US5735 | W | 20010222 |
| EP 1263758 | A2 | 20021211 | EP 2001-912949 | | 20010222 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | | |
| | | | US 2000-186778P | P | 20000303 |
| | | | WO 2001-US5735 | W | 20010222 |
| JP 2003525938 | T2 | 20030902 | JP 2001-565359 | | 20010222 |
| | | | US 2000-186778P | P | 20000303 |
| | | | WO 2001-US5735 | W | 20010222 |

OS MARPAT 142:113912

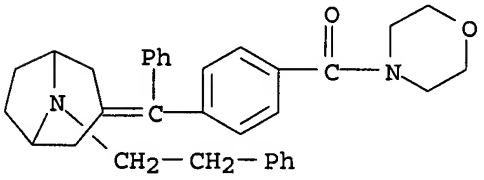
IT **359862-92-3P 359862-95-6P 359863-17-5P 359863-20-0P 359863-24-4P 359863-44-8P 359863-52-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethyleneazabicyclooctanes as δ- or μ-opioid receptor modulators)

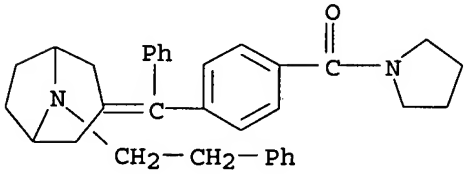
RN 359862-92-3 CAPLUS

CN Morpholine, 4-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)

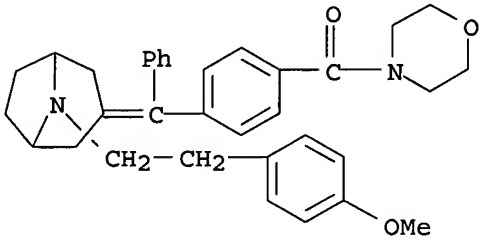



RN 359862-95-6 CAPLUS

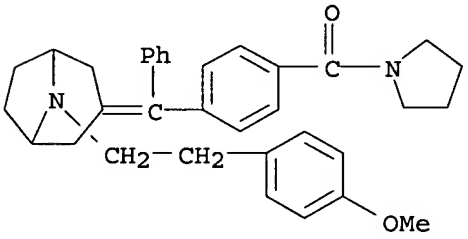
CN Pyrrolidine, 1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)



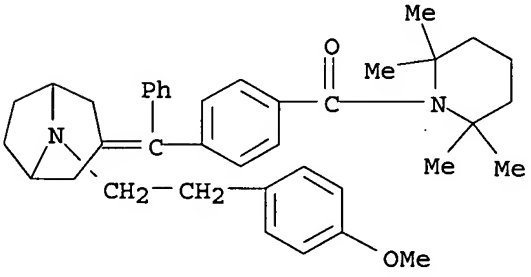
RN 359863-17-5 CAPLUS
CN Morpholine, 4-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 359863-20-0 CAPLUS
CN Pyrrolidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]- (9CI) (CA INDEX NAME)

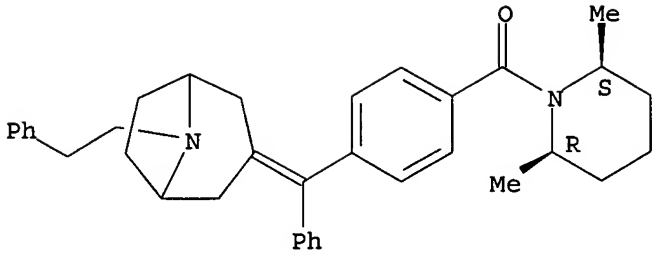


RN 359863-24-4 CAPLUS
CN Piperidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]-2,2,6,6-tetramethyl- (9CI) (CA INDEX NAME)



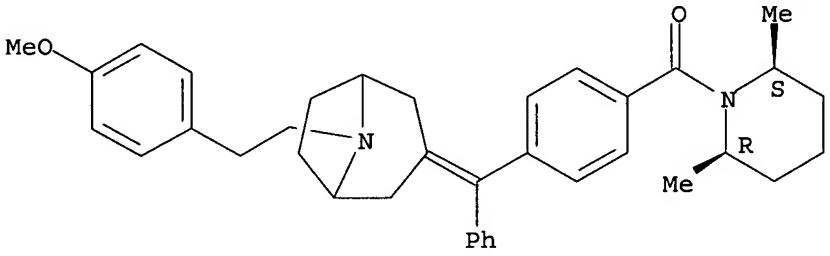
RN 359863-44-8 CAPLUS
CN Piperidine, 2,6-dimethyl-1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.




RN 359863-52-8 CAPLUS
CN Piperidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]-2,6-dimethyl-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.




L6 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, alkoxy, alkyl, etc.; n, m = 0-2; R2-4 = H, alkyl, cycloalkyl, etc.; R5-6 = NO2, alkoxy, Cl, Br, etc.; R7 = alkyl, cycloalkyl, etc.] are prepared For instance, 4-[[3-(anilinocarbonyl)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide (II) was prepared in 7 steps from 4-(bromomethyl)benzoic acid Me ester, N-Boc-4-piperidinone, diethylamine, 3-carboxyphenylboronic acid and aniline. Compds. of the invention have IC50 of 0.36-9.73 nM for the δ-receptor and IC50 of 1600-9000 nM and 86-8700 nM for the κ and μ-receptors resp. I are particularly useful in the management of pain.
AN 2004:857566 CAPLUS
DN 141:332065
TI Preparation of diarylmethylidene piperidine derivatives as δ-receptor ligands for use in the treatment of, e.g., pain
IN Brown, William; Griffin, Andrew Mark
PA Astrazeneca Ab, Swed.
SO PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

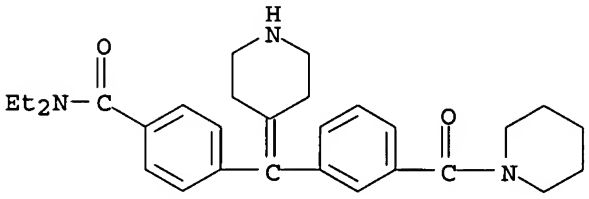
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2004087663 | A1 | 20041014 | WO 2004-SE504 | 20040401 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | SE 2003-987 | A 20030403 |
| | AU 2004226011 | A1 | 20041014 | AU 2004-226011 | 20040401 |
| | | | | SE 2003-987 | A 20030403 |
| | | | | WO 2004-SE504 | A 20040401 |
| | CA 2520493 | AA | 20041014 | CA 2004-2520493 | 20040401 |
| | | | | SE 2003-987 | A 20030403 |
| | | | | WO 2004-SE504 | W 20040401 |
| | EP 1613593 | A1 | 20060111 | EP 2004-725226 | 20040401 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| | | | | SE 2003-987 | A 20030403 |
| | | | | WO 2004-SE504 | W 20040401 |

OS MARPAT 141:332065

IT **769930-90-7P 769930-91-8P 769930-92-9P 769930-93-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylmethylidene piperidine derivs. as δ-receptor ligands for use in treatment of, e.g., pain)

RN 769930-90-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-(1-piperidinylcarbonyl)phenyl]-4-piperidinylidenemethyl]- (9CI) (CA INDEX NAME)

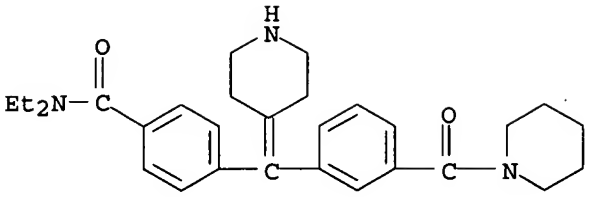


RN 769930-91-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-(1-piperidinylcarbonyl)phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:19) (9CI) (CA INDEX NAME)

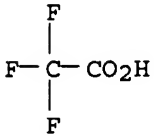
CM 1

CRN 769930-90-7
CMF C29 H37 N3 O2

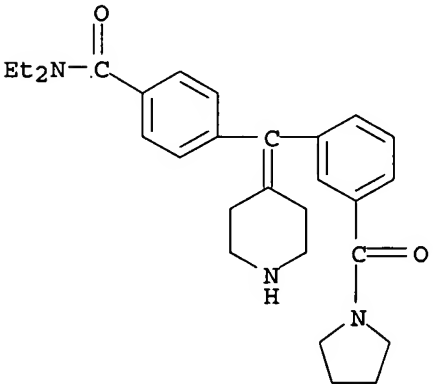


CM 2

CRN 76-05-1
CMF C2 H F3 O2



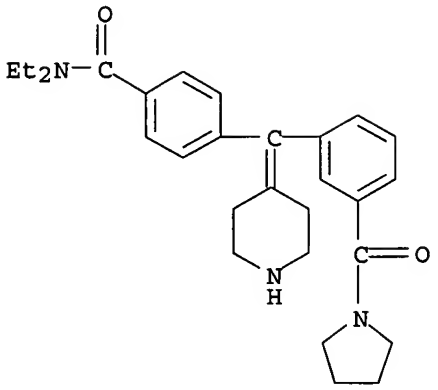
RN 769930-92-9 CAPLUS
CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-(1-pyrrolidinylcarbonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 769930-93-0 CAPLUS
CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-(1-pyrrolidinylcarbonyl)phenyl]methyl]-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

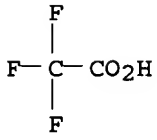
CM 1

CRN 769930-92-9
CMF C28 H35 N3 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A series of N,N-dialkyl-4-(9-aryltropanylidenemethyl)benzamides, e.g. I, was prepared The lead compds., II (R = H) and II (R = allyl), exhibited extremely high affinity for the δ opioid receptor with excellent selectivity vs. the μ opioid receptor. They were full agonists at the δ opioid receptor, as assessed by stimulation of GTPγS binding, and displayed antinociceptive activity.

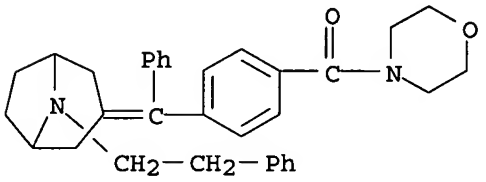
AN 2004:303271 CAPLUS
DN 141:54509

TI N,N-Dialkyl-4-[(8-azabicyclo[3.2.1]-oct-3-ylidene)phenylmethyl]benzamides, potent, selective δ opioid agonists

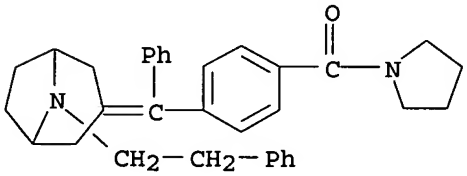
AU Carson, John R.; Coats, Steven J.; Codd, Ellen E.; Dax, Scott L.; Lee, Jung; Martinez, Rebecca P.; Neilson, Lou Anne; Pitis, Philip M.; Zhang, Sui-Po
CS Drug Discovery, Johnson and Johnson Pharmaceutical Research and Development, LLC, Spring House, PA, 19477-0776, USA

SO Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2109-2112
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.

DT Journal
LA English
OS CASREACT 141:54509
IT **359862-92-3P 359862-95-6P 705279-42-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(N,N-Dialkyl-4-[(8-azabicyclo[3.2.1]-oct-3-ylidene)phenylmethyl]benzamides as potent and selective δ opioid agonists)
RN 359862-92-3 CAPLUS
CN Morpholine, 4-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)

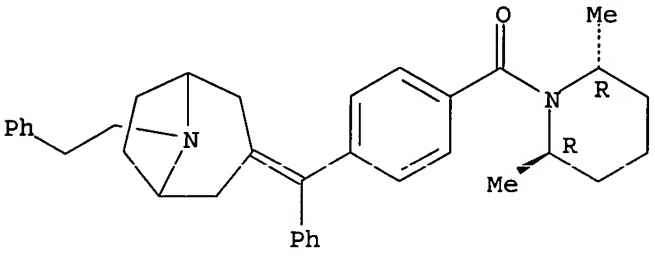


RN 359862-95-6 CAPLUS
CN Pyrrolidine, 1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)



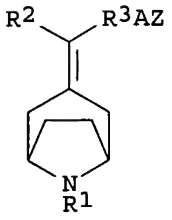
RN 705279-42-1 CAPLUS
CN Piperidine, 2,6-dimethyl-1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]-, (2R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI



I

AB Title compds. [I; R1 = H, alkyl, haloalkyl, alkenyl, alkoxyalkenyl, alkynyl, alkoxyalkynyl, cycloalkyl, (substituted) heterocyclyl, heterocyclylalkyl, aryl, aralkyl, etc.; R2, R3 = (substituted) aryl, heteroaryl; A = CX, SO2; X = O, S; Z = OR4, NR5R6; R4-R6 = H, (substituted) alkyl, alkoxyalkyl, alkenyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.], were prepared Thus, tropinone and N,N-diethyl-4-benzoylbenzamide (preparation given) in THF were added to a prerefluxed mixture of TiCl4 and Zn in THF followed by 3 h reflux to give N,N-diethyl-4-[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]benzamide hydrochloride. The latter at 150 μmole/kg orally in mice gave 87% inhibition of acetylcholine bromide-induced abdominal constriction. Depending on their agonist or antagonist effect, I are analgesics, immunosuppressants, antiinflammatory agents, neurol. and psychiatric drugs, medicaments for drug and alc. abuse, agents for treating gastritis and diarrhea, cardiovascular agents and agents for the treatment of respiratory diseases.

AN 2001:676766 CAPLUS

DN 135:242144

TI Preparation of 3-(diarylmethylene)-8-azabicyclo[3.2.1]octanes as δ- or μ-opioid receptor modulators.

IN Carson, John R.; Coats, Steven J.; Neilson, Lou Anne; Wu, Wu-Nan; Boyd, Robert E.; Pitis, Philip M.

PA Ortho-McNeil Pharmaceutical, Inc., USA

SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001066543 | A2 | 20010913 | WO 2001-US5735 | 20010222 |
| | WO 2001066543 | A3 | 20020314 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 2000-186778P | P 20000303 |
| | CA 2402039 | AA | 20010913 | CA 2001-2402039 | 20010222 |
| | | | | US 2000-186778P | P 20000303 |
| | | | | WO 2001-US5735 | W 20010222 |

| | | | | | |
|---|---|---|---|---|---|
| BR 2001008965 | A | 20021126 | BR 2001-8965 | | 20010222 |
| | | | US 2000-186778P | P | 20000303 |
| | | | WO 2001-US5735 | W | 20010222 |
| EP 1263758 | A2 | 20021211 | EP 2001-912949 | | 20010222 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | | |
| | | | US 2000-186778P | P | 20000303 |
| | | | WO 2001-US5735 | W | 20010222 |
| JP 2003525938 | T2 | 20030902 | JP 2001-565359 | | 20010222 |
| | | | US 2000-186778P | P | 20000303 |
| | | | WO 2001-US5735 | W | 20010222 |

PATENT FAMILY INFORMATION:

FAN 2005:15941

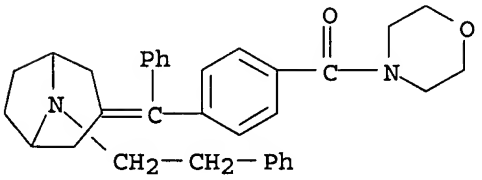
| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| PI US 2005004163 | A1 | 20050106 | US 2004-767712 | | 20040129 |
| | | | US 2000-186778P | P | 20000303 |
| | | | US 2001-791246 | A1 | 20010222 |
| | | | US 2003-360859 | B2 | 20030207 |
| US 2002115662 | A1 | 20020822 | US 2001-791246 | | 20010222 |
| US 6552036 | B2 | 20030422 | | | |
| | | | US 2000-186778P | P | 20000303 |
| US 2003181447 | A1 | 20030925 | US 2003-360859 | | 20030207 |
| | | | US 2001-791246 | A1 | 20010222 |

OS MARPAT 135:242144

IT **359862-92-3P 359862-95-6P 359862-96-7P**
**359863-17-5P 359863-20-0P 359863-21-1P**
**359863-24-4P 359863-44-8P 359863-52-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylmethyleneazabicyclooctanes as δ- or μ-opioid receptor modulators)

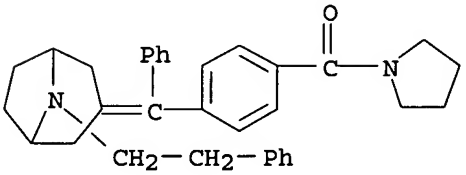
RN 359862-92-3 CAPLUS

CN Morpholine, 4-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)

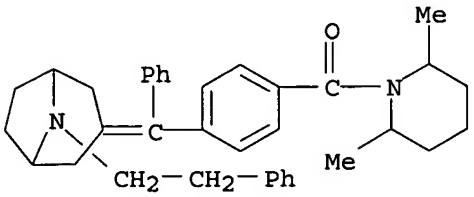



RN 359862-95-6 CAPLUS

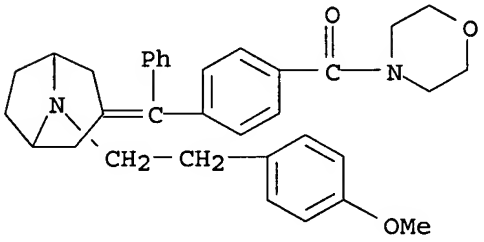
CN Pyrrolidine, 1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)



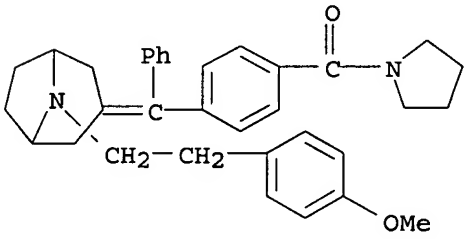
RN 359862-96-7 CAPLUS
CN Piperidine, 2,6-dimethyl-1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)



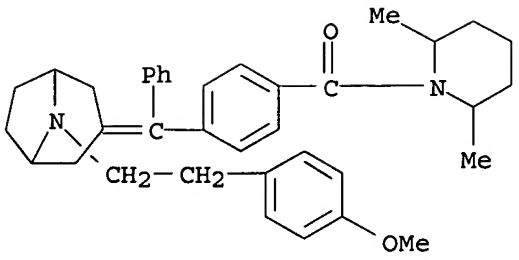
RN 359863-17-5 CAPLUS
CN Morpholine, 4-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]- (9CI) (CA INDEX NAME)



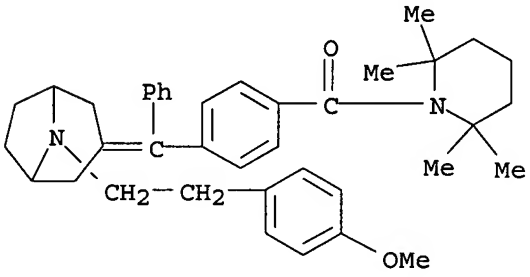
RN 359863-20-0 CAPLUS
CN Pyrrolidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 359863-21-1 CAPLUS
CN Piperidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

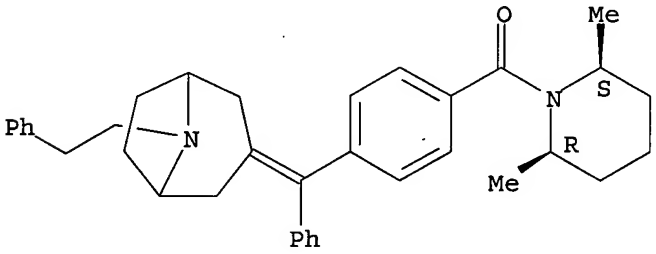


RN 359863-24-4 CAPLUS
CN Piperidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]-2,2,6,6-tetramethyl- (9CI) (CA INDEX NAME)



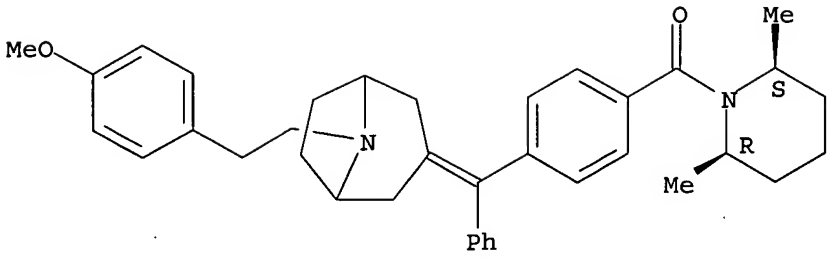
RN 359863-44-8 CAPLUS
CN Piperidine, 2,6-dimethyl-1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

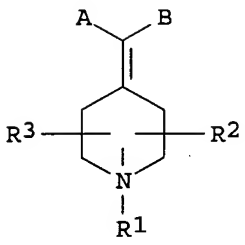


RN 359863-52-8 CAPLUS
CN Piperidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]-2,6-dimethyl-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.




L6 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI

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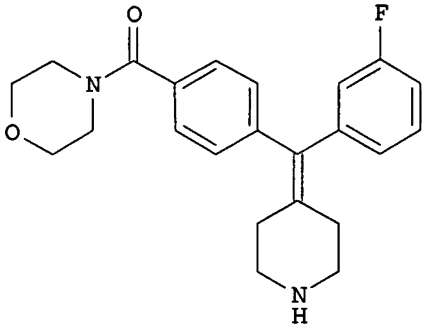


II

AB Compds. of general formula [I; R1 = H, linear or branched C1-6 alkyl, C1-6 alkenyl, C3-8 cycloalkyl, C3-6 cycloalkyl-C1-2 alkyl, C6-10 aryl, heteroaryl having 5 to 10 atoms selected from C, S, N, and O, C1-2 alkyl-(un)substituted C6-10 aryl, C1-2 alkyl-(un)substituted heteroaryl having 5 to 10 atoms selected from C, S, N, and O; R2, R3 = H, C1-6 alkyl; A = N and/or benzene-ring 4-carbamoylphenyl, 4-sulfamoylphenyl, acylaminophenyl, or acylphenyl wherein N and/or benzene-ring are optionally substituted; B = (un)substituted aromatic, heteroarom., hydroarom., or heterohydroarom. moieties having 5 to 10 atoms selected from C, S, N, and O atoms] are disclosed and claimed in the present application, as well as their pharmaceutically acceptable salts, pharmaceutical compns. comprising the novel compds., their use in therapy, in particular in the management of pain and in the treatment of gastrointestinal disorders, spinal injuries, disorders of the sympathetic nervous system, and isotopically labeled I as diagnostic agents. The compds. are ligands for opioid receptor, have analgesic effect, and are useful for the treatment of various pain conditions such as chronic pain, acute pain, cancer pain, pain caused by rheumatoid arthritis, migraine, visceral pain, etc. (no data). Thus, tert-Bu 4-[bromo[4-(morpholinocarbonyl)phenyl]methylene]-1-piperidinecarboxylate (preparation given) was coupled with 3-fluorophenylboronic acid in the presence of (PPh3)4Pd and Na2CO3 in aqueous EtOH at 80° for 2 h under N followed by treatment with CF3CO2H and acidification with aqueous HCl to give the title compound (II.HCl).

AN 1998:479508 CAPLUS

DN 129:95406

TI Preparation of 4-[diaryl- or (arylheteroaryl)methylene]piperidine derivatives with analgesic effect
IN Delorme, Daniel; Roberts, Edward; Wei, Zhongyong
PA Astra Pharma Inc., Can.; Astra Aktiebolag (Publ)
SO PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI WO 9828275 | A1 | 19980702 | WO 1997-SE2050 | 19971209 |
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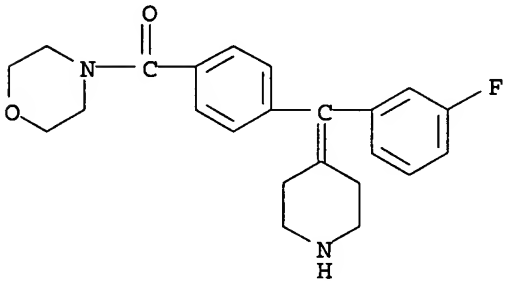
OS MARPAT 129:95406

IT **209807-83-0P 209807-84-1P 209807-85-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [diaryl- or (arylheteroaryl)methylene]piperidine derivs. with analgesic effect)

RN 209807-83-0 CAPLUS

CN Morpholine, 4-[4-[(3-fluorophenyl)-4-piperidinylidenemethyl]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 209807-84-1 CAPLUS

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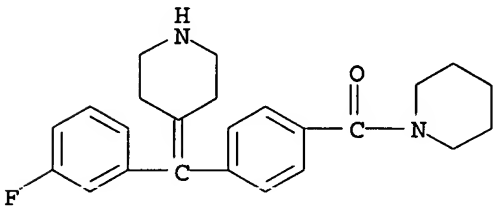

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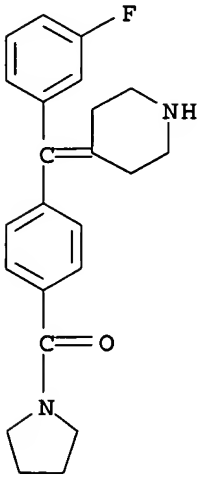


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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